

Subject: 10/566063

Please, search fully a compound of formula (1) of claim 1 (in the attached file)

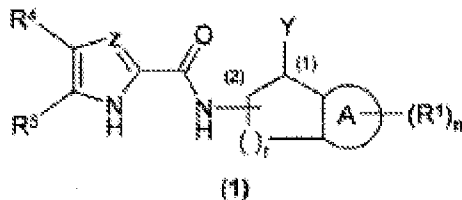
When:

Z=CH<sub>2</sub>

r=1

A=phenylene

1. (original) A compound of formula (1):



wherein:

Z is CH or nitrogen;

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 15:47:22 ON 30 SEP 2008

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FILE COVERS 1907 - 30 Sep 2008 VOL 149 ISS 14

FILE LAST UPDATED: 29 Sep 2008 (20080929/ED)

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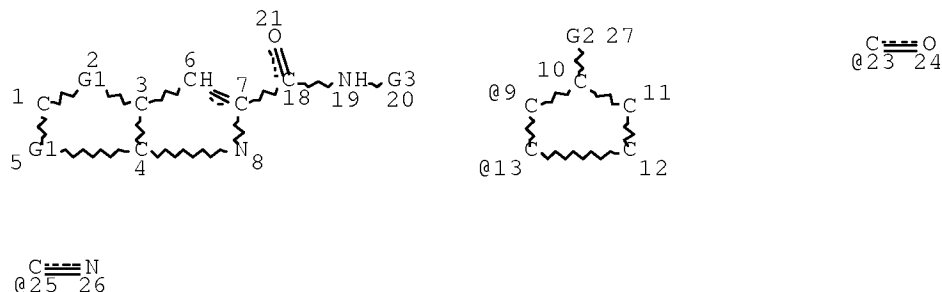
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L27

STR



VAR G1=C/S  
 VAR G2=23/AK/25/S/CY  
 VAR G3=9/13  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE  
 L29 116 SEA FILE=REGISTRY SSS FUL L27  
 L33 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L29

=> d ibib abs hitstr 133 1-4

L33 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:795762 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 145:211025  
 TITLE: Thienopyrrole derivatives as glycogen phosphorylase inhibitors and their preparation, pharmaceutical compositions and use for treatment of glycogen phosphorylase mediated diseases  
 INVENTOR(S): Birch, Alan Martin; Johnstone, Craig; Plowright, Alleyn Thomas; Simpson, Iain; Whittamore, Paul Robert Owen  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited  
 SOURCE: PCT Int. Appl., 93pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006082401	A1	20060810	WO 2006-GB349	20060202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,				

VN, YU, ZA, ZM, ZW  
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 KG, KZ, MD, RU, TJ, TM

AU 2006210719	A1	20060810	AU 2006-210719	20060202
CA 2595835	A1	20060810	CA 2006-2595835	20060202
EP 1848721	A1	20071031	EP 2006-701676	20060202

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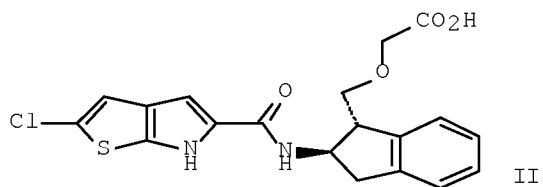
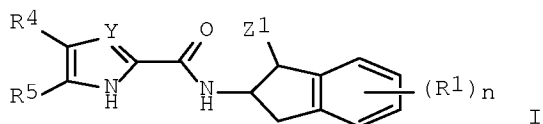
JP 2008528667	T	20080731	JP 2007-553691	20060202
NO 2007003710	A	20070831	NO 2007-3710	20070718
IN 2007DN05663	A	20070817	IN 2007-DN5663	20070723
MX 200709438	A	20070816	MX 2007-9438	20070803
KR 2007107108	A	20071106	KR 2007-720315	20070905
CN 101151267	A	20080326	CN 2006-80010515	20070928

PRIORITY APPLN. INFO.:

GB 2005-2465	A	20050205
GB 2005-2466	A	20050205
WO 2006-GB349	W	20060202

OTHER SOURCE(S): MARPAT 145:211025

GI



AB A compound of the formula I or a pharmaceutically-acceptable salt: possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity such as type 2 diabetes. Processes for the manufacture of compds. and pharmaceutical compns. containing them are described. Compds. of formula I wherein Y is CH or N; R4 and R5 together are -S-CR6=CR7- or -CR7=CR6S-; R7 and R7 are independently H, halo, NO2, CN, HO, CH2F, CHF2, CF3, CF3O, carboxy, carbamoyl, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy, or C1-4 alkanoyl; n is 0, 1, or 2; each R1 are independently halo, CN, NO2, HO, carboxy, carbamoyl, etc.; Z1 is C1-6 alkylene-CO2H, C3-6 cycloalkylene-CO2, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by hydrolysis of tert-Bu [((1R,2R)-2-((2-chloro-6H-thieno[2,3-b]pyrrolo-2-yl)carbonyl)amino)-2,3-dihydro-1H-inden-1-yl)methoxy]acetate. All the invention compds. were evaluated for their glycogen phosphorylase inhibitory activity (no data).

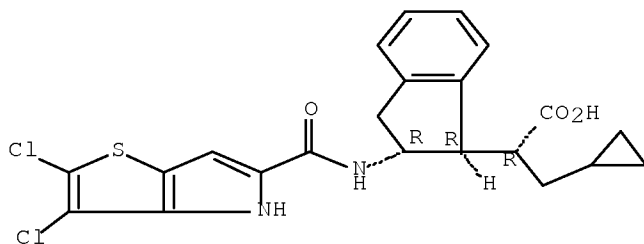
IT 905310-22-7P 905310-23-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of thienopyrrole derivs. as glycogen phosphorylase inhibitors useful for treatment of glycogen phosphorylase mediated diseases)

RN 905310-22-7 HCAPLUS

CN 1H-Indene-1-acetic acid,  $\alpha$ -(cyclopropylmethyl)-2-[[2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-, ( $\alpha$ R,1R,2R)- (CA INDEX NAME)

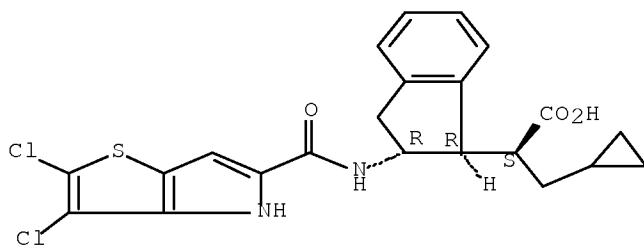
Absolute stereochemistry.



RN 905310-23-8 HCAPLUS

CN 1H-Indene-1-acetic acid,  $\alpha$ -(cyclopropylmethyl)-2-[[2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-, ( $\alpha$ S,1R,2R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 905310-14-7P 905310-15-8P 905310-16-9P  
905310-17-0P 905310-18-1P 905310-19-2P  
905310-20-5P 905310-21-6P 905310-25-0P  
905310-27-2P 905310-28-3P 905310-29-4P  
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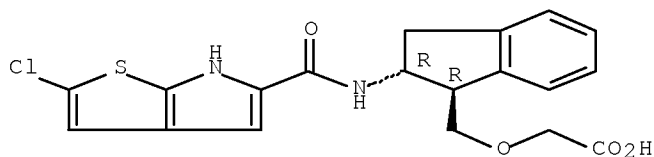
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of thienopyrrole derivs. as glycogen phosphorylase inhibitors useful for treatment of glycogen phosphorylase mediated diseases)

RN 905310-14-7 HCAPLUS

CN Acetic acid, 2-[[1R,2R)-2-[[2-chloro-6H-thieno[2,3-b]pyrrol-5-

yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methoxy]- (CA INDEX NAME)

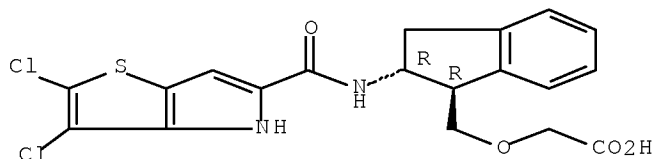
Absolute stereochemistry.



RN 905310-15-8 HCAPLUS

CN Acetic acid, 2-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methoxy]- (CA INDEX NAME)

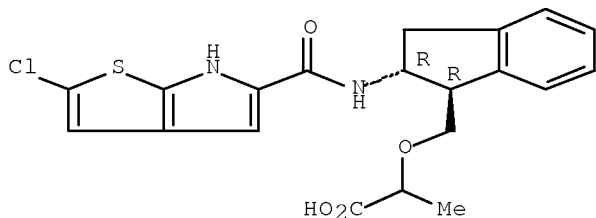
Absolute stereochemistry.



RN 905310-16-9 HCAPLUS

CN Propanoic acid, 2-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methoxy]- (CA INDEX NAME)

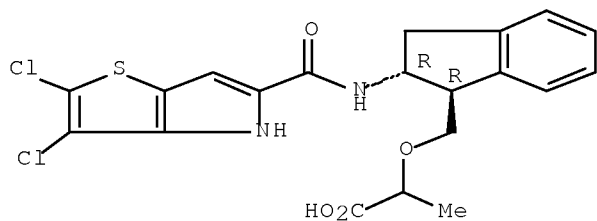
Absolute stereochemistry.



RN 905310-17-0 HCAPLUS

CN Propanoic acid, 2-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methoxy]- (CA INDEX NAME)

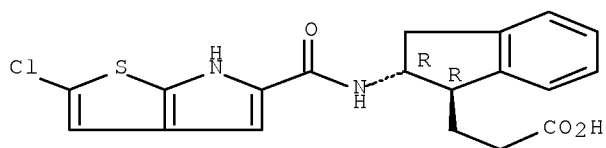
Absolute stereochemistry.



RN 905310-18-1 HCAPLUS

CN 1H-Indene-1-propanoic acid, 2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-, (1R,2R)- (CA INDEX NAME)

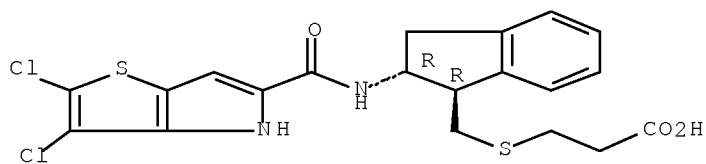
Absolute stereochemistry.



RN 905310-19-2 HCAPLUS

CN Propanoic acid, 3-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl]thio]- (CA INDEX NAME)

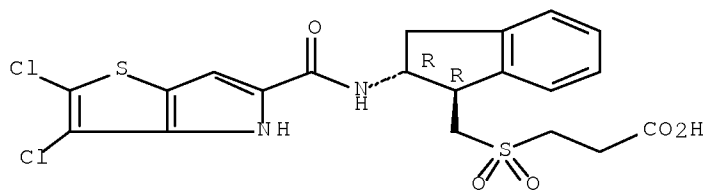
Absolute stereochemistry.



RN 905310-20-5 HCAPLUS

CN Propanoic acid, 3-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl]sulfonyl]- (CA INDEX NAME)

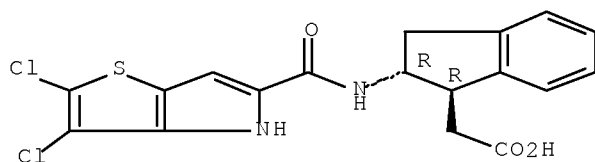
Absolute stereochemistry.



RN 905310-21-6 HCAPLUS

CN 1H-Indene-1-acetic acid, 2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-, (1R,2R)- (CA INDEX NAME)

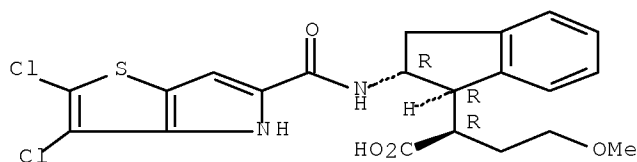
Absolute stereochemistry.



RN 905310-25-0 HCAPLUS

CN 1H-Indene-1-acetic acid, 2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro- $\alpha$ -(2-methoxyethyl)-, ( $\alpha$ R,1R,2R)- (CA INDEX NAME)

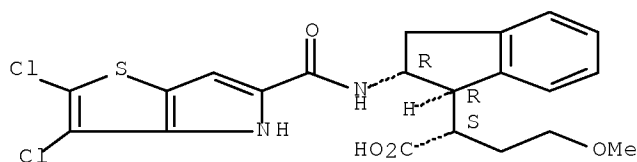
Absolute stereochemistry.



RN 905310-27-2 HCAPLUS

CN 1H-Indene-1-acetic acid, 2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro- $\alpha$ -(2-methoxyethyl)-, ( $\alpha$ S,1R,2R)- (CA INDEX NAME)

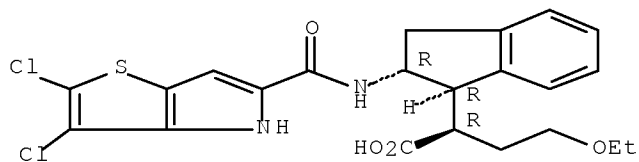
Absolute stereochemistry.



RN 905310-28-3 HCAPLUS

CN 1H-Indene-1-acetic acid, 2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]- $\alpha$ -(2-ethoxyethyl)-2,3-dihydro-, ( $\alpha$ R,1R,2R)- (CA INDEX NAME)

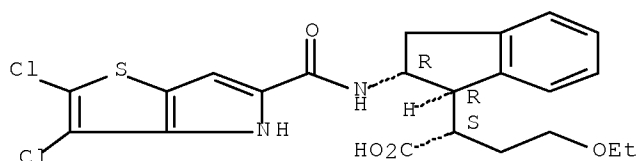
Absolute stereochemistry.



RN 905310-29-4 HCAPLUS

CN 1H-Indene-1-acetic acid, 2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-α-(2-ethoxyethyl)-2,3-dihydro-, (αS,1R,2R)-  
(CA INDEX NAME)

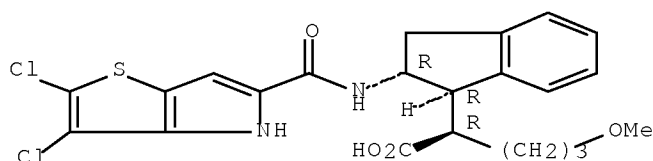
Absolute stereochemistry.



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CN 1H-Indene-1-acetic acid, 2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-α-(3-methoxypropyl)-,  
(αR,1R,2R)- (CA INDEX NAME)

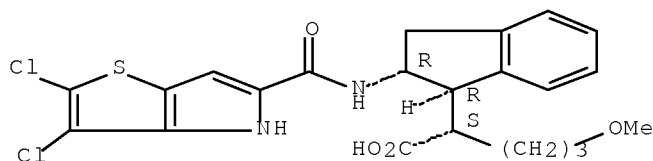
Absolute stereochemistry.



RN 905310-31-8 HCAPLUS

CN 1H-Indene-1-acetic acid, 2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-α-(3-methoxypropyl)-,  
(αS,1R,2R)- (CA INDEX NAME)

Absolute stereochemistry.

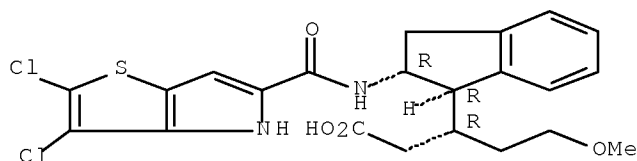




RN 905310-32-9 HCAPLUS

CN 1H-Indene-1-propanoic acid, 2-[[ (2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro- $\beta$ -(2-methoxyethyl)-, ( $\beta$ R,1R,2R)-  
(CA INDEX NAME)

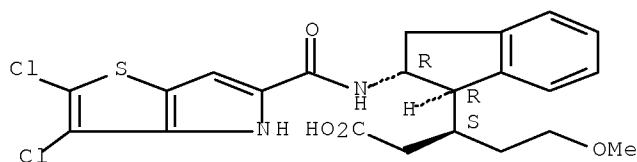
Absolute stereochemistry.



RN 905310-33-0 HCAPLUS

CN 1H-Indene-1-propanoic acid, 2-[[ (2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro- $\beta$ -(2-methoxyethyl)-, ( $\beta$ S,1R,2R)-  
(CA INDEX NAME)

Absolute stereochemistry.



IT 845268-34-0P 845268-35-1P 845268-40-8P  
845269-11-6P 845269-12-7P 845269-16-1P  
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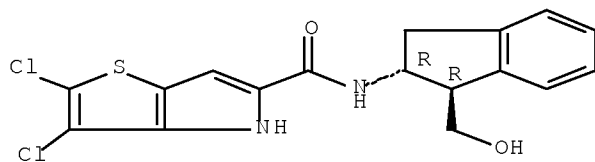
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate; preparation of thienopyrrole derivs. as glycogen  
phosphorylase inhibitors useful for treatment of glycogen phosphorylase  
mediated diseases)

RN 845268-34-0 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-(hydroxymethyl)-1H-inden-2-yl]- (CA INDEX NAME)

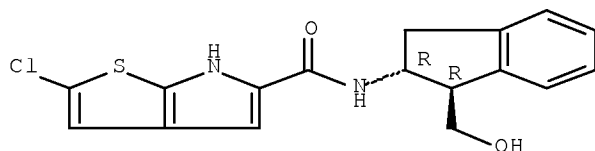
Absolute stereochemistry.



RN 845268-35-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-(hydroxymethyl)-1H-inden-2-yl]- (CA INDEX NAME)

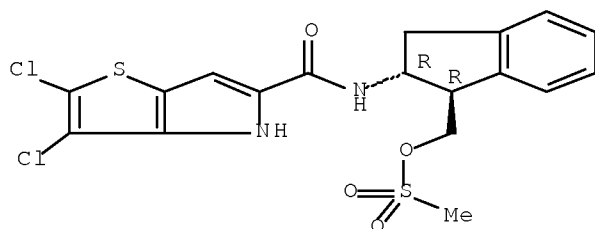
Absolute stereochemistry.



RN 845268-40-8 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(methylsulfonyl)oxy]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

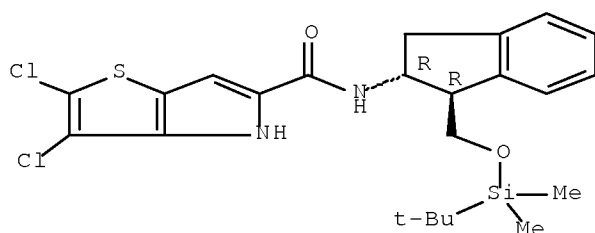
Absolute stereochemistry.



RN 845269-11-6 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

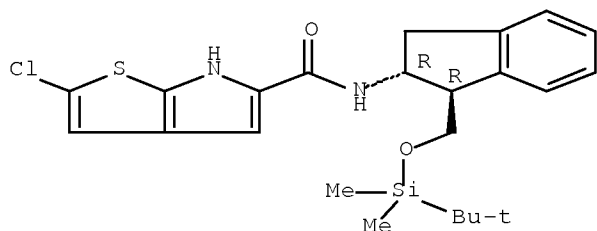
Absolute stereochemistry.



RN 845269-12-7 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

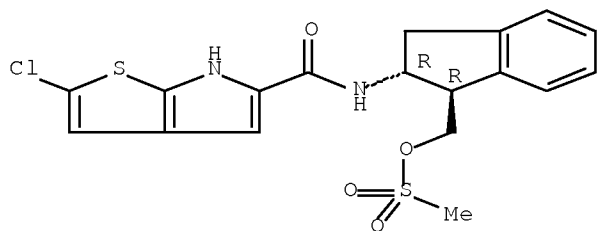
Absolute stereochemistry.



RN 845269-16-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(methylsulfonyl)oxy]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

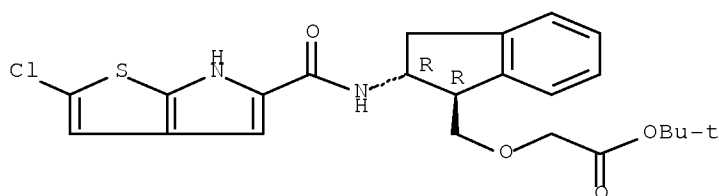
Absolute stereochemistry.



RN 905310-36-3 HCAPLUS

CN Acetic acid, 2-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

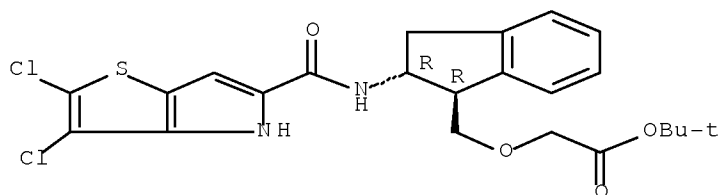


RN 905310-37-4 HCAPLUS

CN Acetic acid, 2-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methoxy]-, 1,1-dimethylethyl

ester (CA INDEX NAME)

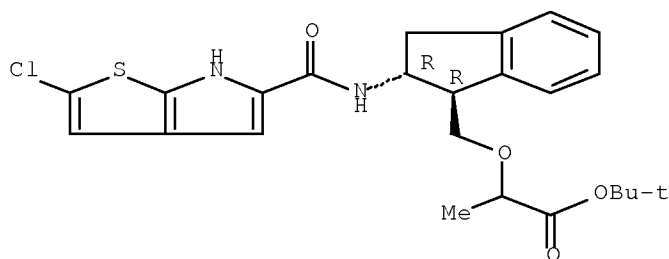
Absolute stereochemistry.



RN 905310-38-5 HCAPLUS

CN Propanoic acid, 2-[[[(1R,2R)-2-[[[2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

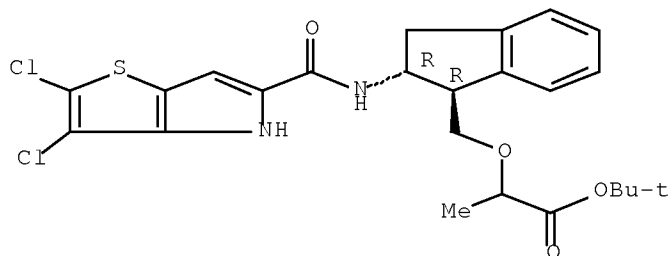
Absolute stereochemistry.



RN 905310-39-6 HCAPLUS

CN Propanoic acid, 2-[[[(1R,2R)-2-[[[2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

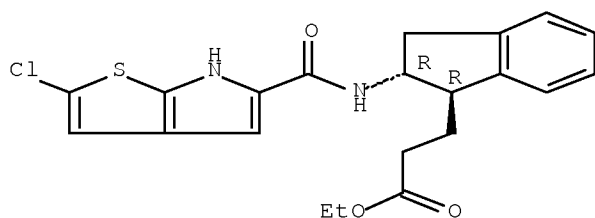
Absolute stereochemistry.



RN 905310-40-9 HCAPLUS

CN 1H-Indene-1-propanoic acid, 2-[[[2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-, ethyl ester, (1R,2R)- (CA INDEX NAME)

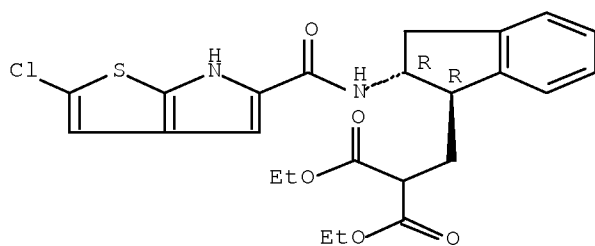
Absolute stereochemistry.



RN 905310-41-0 HCAPLUS

CN Propanedioic acid, 2-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl]-, 1,3-diethyl ester (CA INDEX NAME)

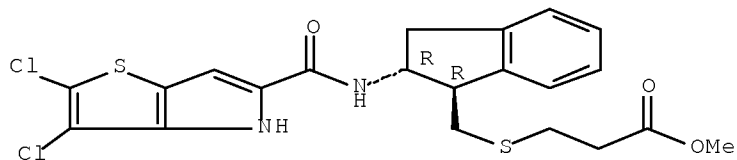
Absolute stereochemistry.



RN 905310-42-1 HCAPLUS

CN Propanoic acid, 3-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl]thio]-, methyl ester (CA INDEX NAME)

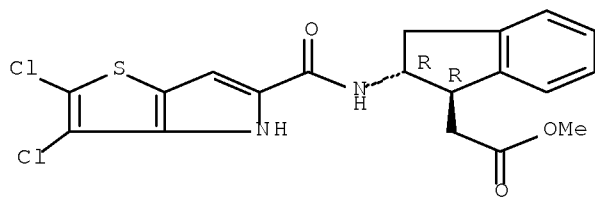
Absolute stereochemistry.



RN 905310-43-2 HCAPLUS

CN 1H-Indene-1-acetic acid, 2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-, methyl ester, (1R,2R)- (CA INDEX NAME)

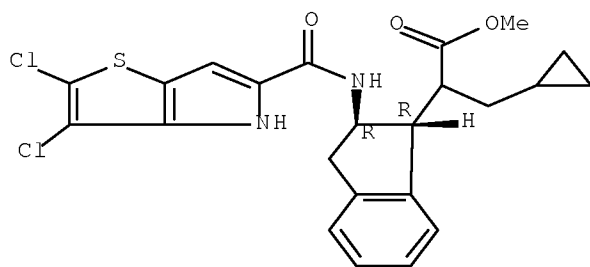
Absolute stereochemistry.



RN 905310-44-3 HCAPLUS

CN 1H-Indene-1-acetic acid,  $\alpha$ -(cyclopropylmethyl)-2-[[2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl]carbonyl]amino]-2,3-dihydro-, methyl ester, (1R,2R)- (CA INDEX NAME)

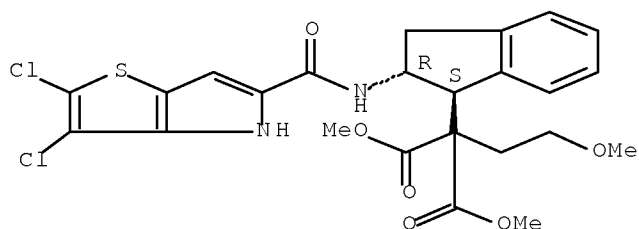
Absolute stereochemistry.



RN 905310-48-7 HCAPLUS

CN Propanedioic acid, 2-[(1S,2R)-2-[[2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl]carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-(2-methoxyethyl)-, 1,3-dimethyl ester (CA INDEX NAME)

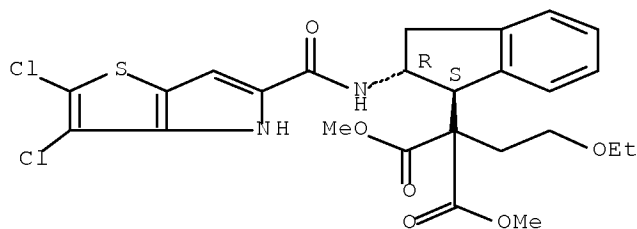
Absolute stereochemistry.



RN 905310-51-2 HCAPLUS

CN Propanedioic acid, 2-[(1S,2R)-2-[[2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl]carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-(2-ethoxyethyl)-, 1,3-dimethyl ester (CA INDEX NAME)

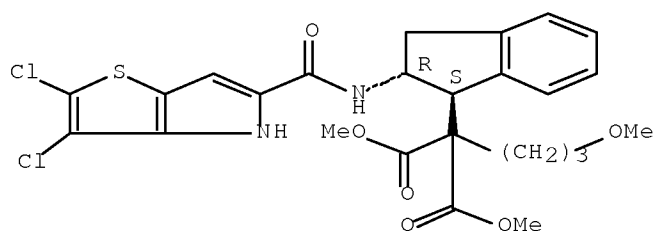
Absolute stereochemistry.



RN 905310-52-3 HCAPLUS

CN Propanedioic acid, 2-[(1S,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-(3-methoxypropyl)-, 1,3-dimethyl ester (CA INDEX NAME)

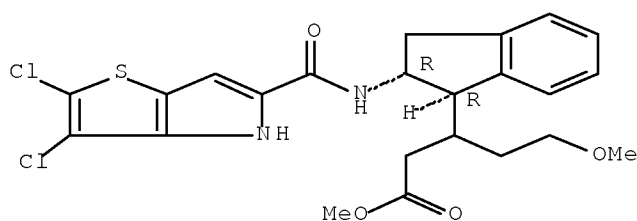
Absolute stereochemistry.



RN 905310-58-9 HCAPLUS

CN 1H-Indene-1-propanoic acid, 2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-β-(2-methoxyethyl)-, methyl ester, (1R,2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:216669 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:297985

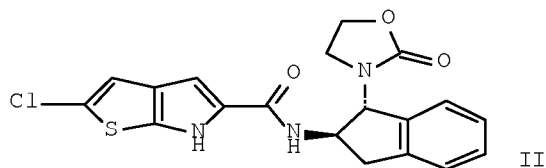
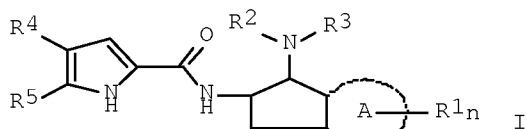
TITLE: Preparation of thienopyrrole carboxamides as glycogen phosphorylase inhibitors

INVENTOR(S): Bennett, Stuart Norman Lile; Simpson, Iain

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 72 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020986	A1	20050310	WO 2004-GB3622	20040825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2003-20241 A 20030829  
 GB 2003-24788 A 20031024  
 OTHER SOURCE(S): CASREACT 142:297985; MARPAT 142:297985  
 GI



AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R2R3 = heterocyclic ring; R4R5 = -SC(R6):C(R7)- or -C(R7):C(R6)S-; R6, R7 = independently H, halo, OH, carboxy, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors (no data). For example, II was given in a multi-step synthesis starting from the reaction of Me 2-chlorothiophene-3- carboxaldehyde with Me azidoacetate. I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity (no data).

IT 847658-03-1P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

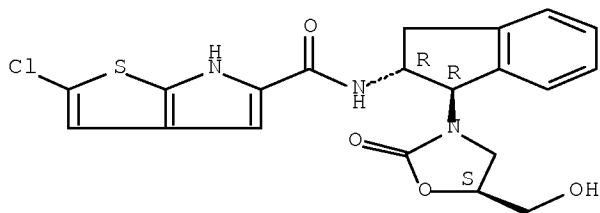


preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of thienopyrrole carboxamides as glycogen phosphorylase inhibitors)

RN 847658-03-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(5S)-5-(hydroxymethyl)-2-oxo-3-oxazolidinyl]-1H-inden-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 847657-97-0P 847657-98-1P 847657-99-2P  
847658-01-9P 847658-02-0P 847658-04-2P  
847658-05-3P 847658-06-4P 847658-07-5P

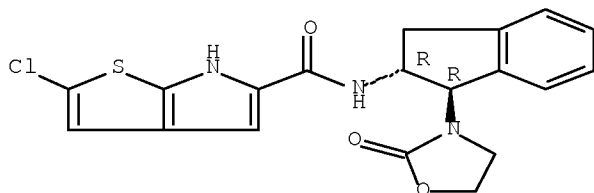
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thienopyrrole carboxamides as glycogen phosphorylase inhibitors)

RN 847657-97-0 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-(2-oxo-3-oxazolidinyl)-1H-inden-2-yl]- (CA INDEX NAME)

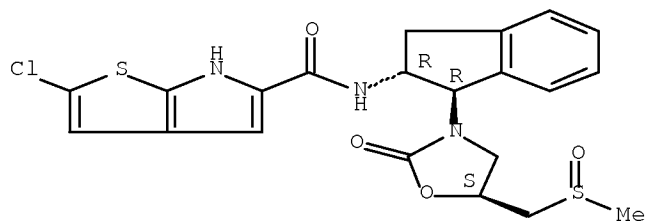
Absolute stereochemistry.



RN 847657-98-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(5S)-5-[(methylsulfinyl)methyl]-2-oxo-3-oxazolidinyl]-1H-inden-2-yl]- (CA INDEX NAME)

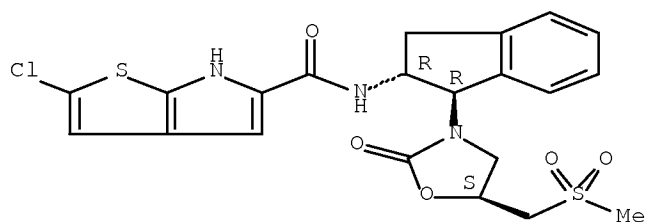
Absolute stereochemistry.



RN 847657-99-2 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(5S)-5-[(methylsulfonyl)methyl]-2-oxo-3-oxazolidinyl]-1H-inden-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847658-01-9 HCAPLUS

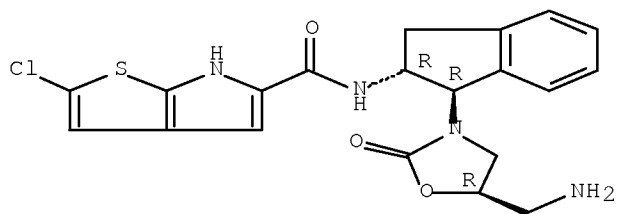
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[(5R)-5-(aminomethyl)-2-oxo-3-oxazolidinyl]-2,3-dihydro-1H-inden-2-yl]-2-chloro-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 847658-00-8

CMF C20 H19 Cl N4 O3 S

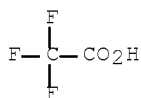
Absolute stereochemistry.



CM 2

CRN 76-05-1

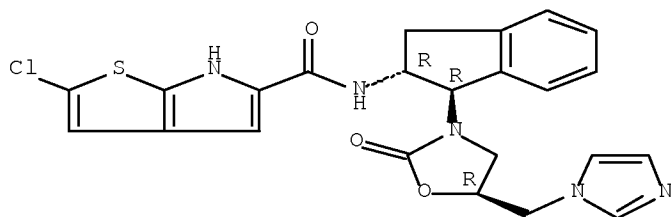
CMF C2 H F3 O2



RN 847658-02-0 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(5R)-5-(1H-imidazol-1-ylmethyl)-2-oxo-3-oxazolidinyl]-1H-inden-2-yl]- (CA INDEX NAME)

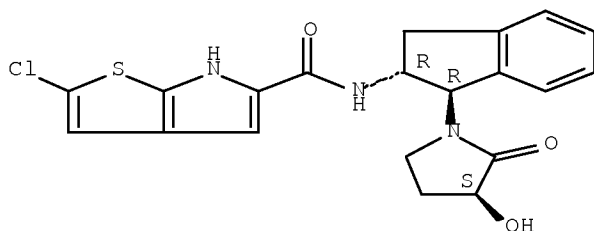
Absolute stereochemistry.



RN 847658-04-2 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(3S)-3-hydroxy-2-oxo-1-pyrrolidinyl]-1H-inden-2-yl]- (CA INDEX NAME)

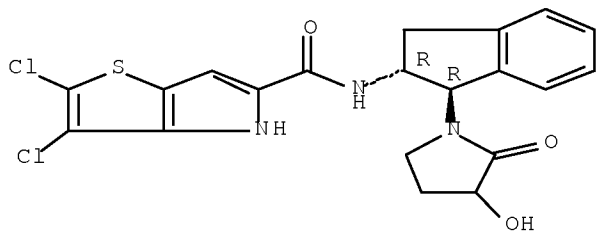
Absolute stereochemistry.



RN 847658-05-3 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-(3-hydroxy-2-oxo-1-pyrrolidinyl)-1H-inden-2-yl]- (CA INDEX NAME)

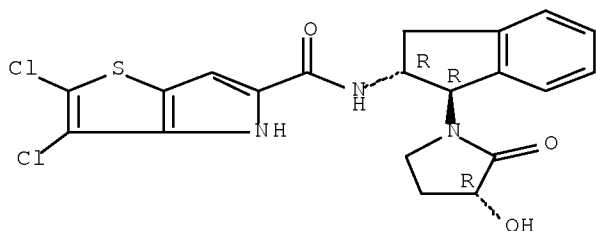
Absolute stereochemistry.



RN 847658-06-4 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(3R)-3-hydroxy-2-oxo-1-pyrrolidinyl]-1H-inden-2-yl]- (CA INDEX NAME)

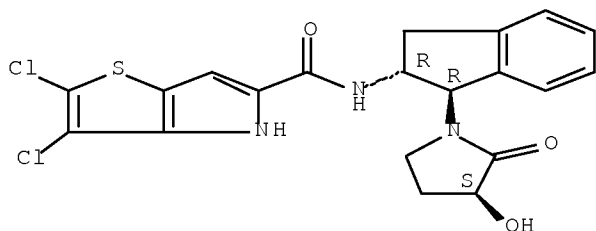
Absolute stereochemistry.



RN 847658-07-5 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(3S)-3-hydroxy-2-oxo-1-pyrrolidinyl]-1H-inden-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 847658-11-1P 847658-12-2P

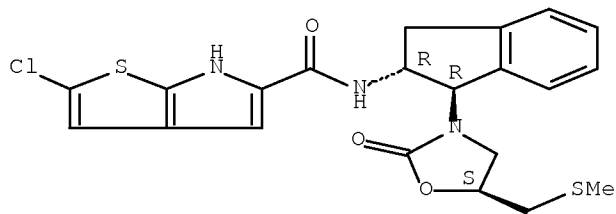
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thienopyrrole carboxamides as glycogen phosphorylase inhibitors)

RN 847658-11-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(5S)-5-[(methylthio)methyl]-2-oxo-3-oxazolidinyl]-1H-inden-2-yl]- (CA INDEX NAME)

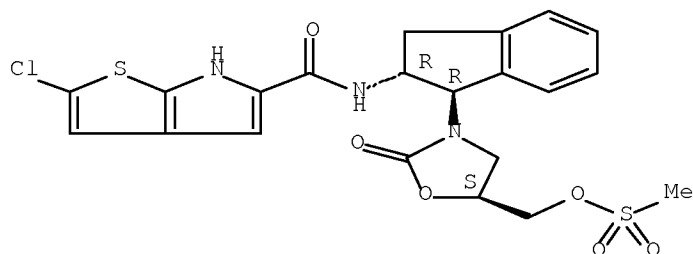
Absolute stereochemistry.



RN 847658-12-2 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(5S)-5-[(methanesulfonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]-1H-inden-2-yl]-(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:136553 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:240303

TITLE: Preparation of thienopyrrole amide derivatives as glycogen phosphorylase inhibitors

INVENTOR(S): Birch, Alan Martin; Bennett, Stuart Norman Lile; Campbell, Andrew Duncan; Simpson, Iain; Whittamore, Paul Robert Owen; Whalley, David Paul; Godfrey, Linda

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013981	A1	20050217	WO 2004-GB3345	20040804
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

EP 1658069 A1 20060524 EP 2004-743648 20040804

EP 1658069 B1 20080730

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
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JP 2007501779 T 20070201 JP 2006-522397 20040804

AT 402696 T 20080815 AT 2004-743648 20040804

US 20080064691 A1 20080313 US 2006-566063 20060126

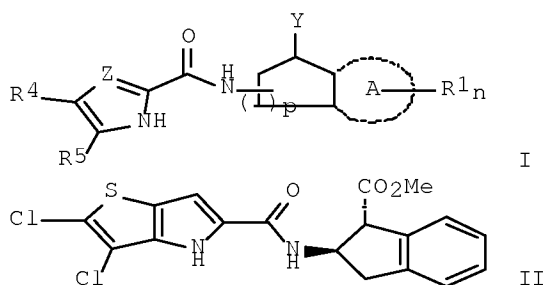
PRIORITY APPLN. INFO.:

GB 2003-18463 A 20030807

WO 2004-GB3345 W 20040804

OTHER SOURCE(S): CASREACT 142:240303; MARPAT 142:240303

GI



AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2, r = 1 or 2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R4, R5 together are either -SC(R6):C(R7)- or -C(R7):C(R6)S-; R6, R7 = independently H, halo, NO2, CF3, alkoxy, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors (no data). For example, II was given in a multi-step synthesis starting from Me 2-oxoindane-1-carboxylate. I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity.

IT 845268-31-7P 845268-32-8P 845268-34-0P  
 845268-35-1P 845268-40-8P 845268-44-2P  
 845268-47-5P 845268-53-3P 845268-54-4P  
 845268-56-6P 845268-60-2P 845268-64-6P  
 845268-65-7P 845268-66-8P 845268-67-9P  
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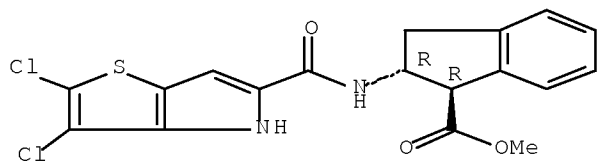
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of thienopyrrole amide derivs. as glycogen phosphorylase inhibitors)

RN 845268-31-7 HCAPLUS

CN 1H-Indene-1-carboxylic acid, 2-[[ (2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-, methyl ester, (1R,2R)- (CA INDEX NAME)

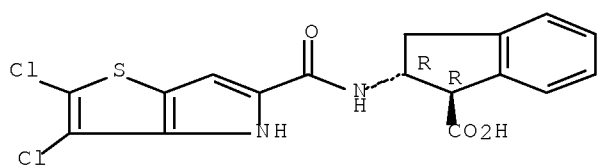
Absolute stereochemistry.



RN 845268-32-8 HCAPLUS

CN 1H-Indene-1-carboxylic acid, 2-[[2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl]carbonyl]amino]-2,3-dihydro-, (1R,2R)- (CA INDEX NAME)

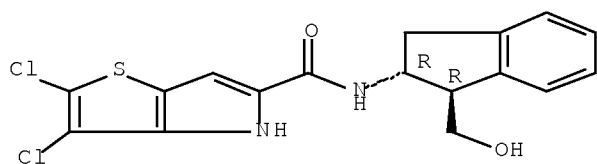
Absolute stereochemistry.



RN 845268-34-0 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-(hydroxymethyl)-1H-inden-2-yl]- (CA INDEX NAME)

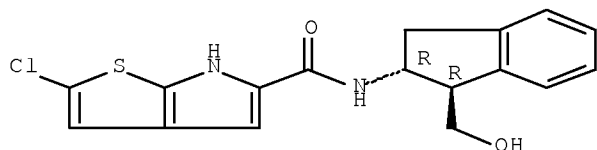
Absolute stereochemistry.



RN 845268-35-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-(hydroxymethyl)-1H-inden-2-yl]- (CA INDEX NAME)

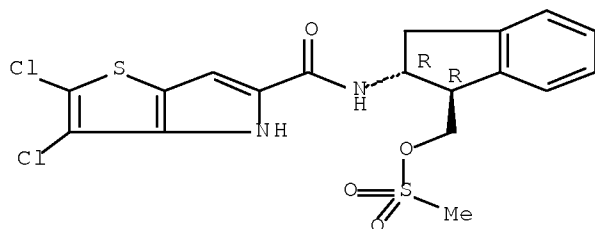
Absolute stereochemistry.



RN 845268-40-8 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(methylsulfonyl)oxy]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

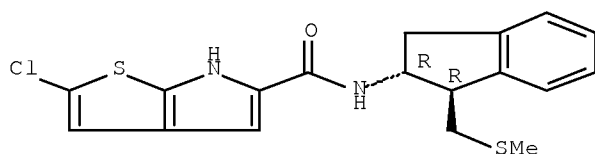
Absolute stereochemistry.



RN 845268-44-2 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(methylthio)methyl]-1H-inden-2-yl]- (CA INDEX NAME)

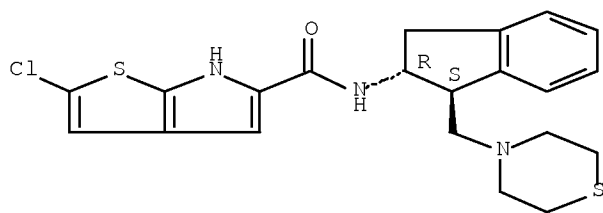
Absolute stereochemistry.



RN 845268-47-5 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1S,2R)-2,3-dihydro-1-(4-thiomorpholinylmethyl)-1H-inden-2-yl]- (CA INDEX NAME)

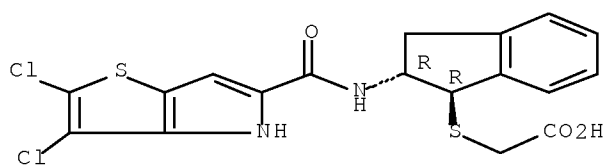
Absolute stereochemistry.



RN 845268-53-3 HCAPLUS

CN Acetic acid, 2-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]thio]-6H-thieno[2,3-b]pyrrole-5-carboxamide]]- (CA INDEX NAME)

Absolute stereochemistry.

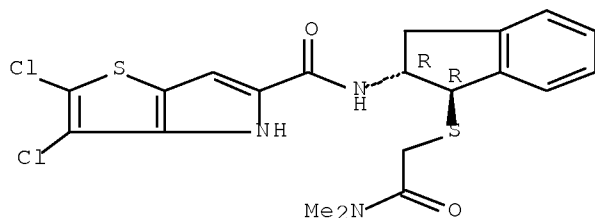




RN 845268-54-4 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[2-(dimethylamino)-2-oxoethyl]thio]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

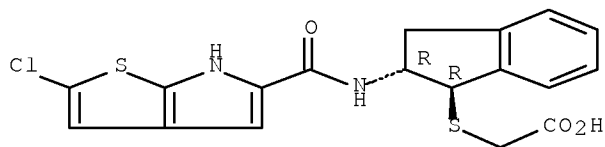
Absolute stereochemistry.



RN 845268-56-6 HCAPLUS

CN Acetic acid, 2-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]thio]-, rel- (CA INDEX NAME)

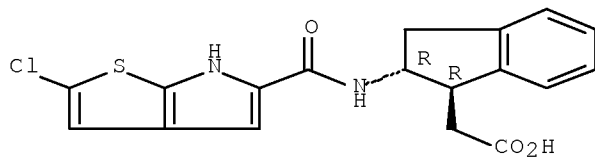
Relative stereochemistry.



RN 845268-60-2 HCAPLUS

CN 1H-Indene-1-acetic acid, 2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-, (1R,2R)-rel- (CA INDEX NAME)

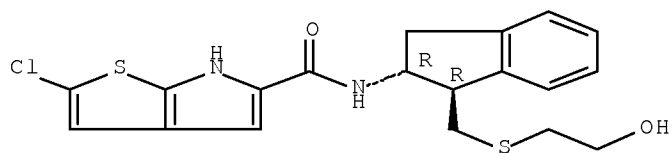
Relative stereochemistry.



RN 845268-64-6 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(2-hydroxyethyl)thio]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

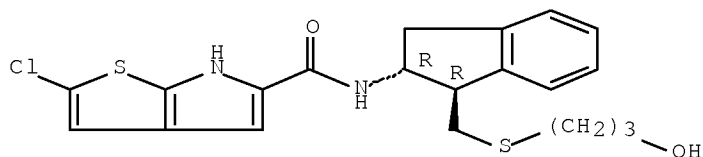
Absolute stereochemistry.



RN 845268-65-7 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[3-hydroxypropyl]thio]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

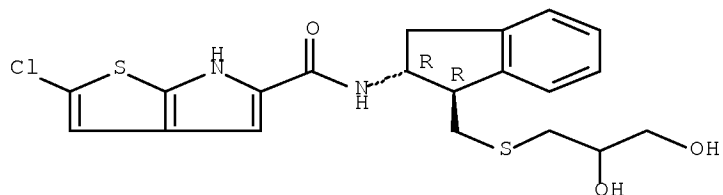
Absolute stereochemistry.



RN 845268-66-8 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[2,3-dihydroxypropyl]thio]methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

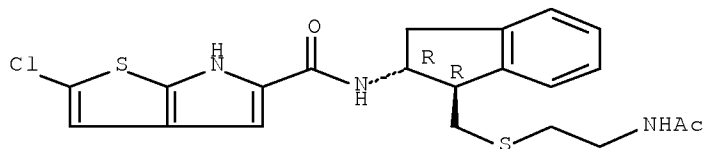
Absolute stereochemistry.



RN 845268-67-9 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[[2-(acetylamino)ethyl]thio]methyl]-2,3-dihydro-1H-inden-2-yl]-2-chloro- (CA INDEX NAME)

Absolute stereochemistry.

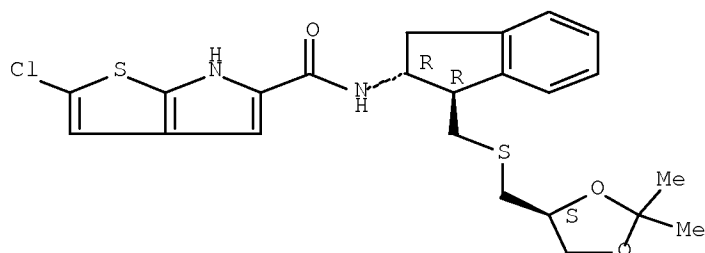


RN 845268-69-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl]thio]methyl]-2,3-dihydro-1H-inden-2-yl]-

(CA INDEX NAME)

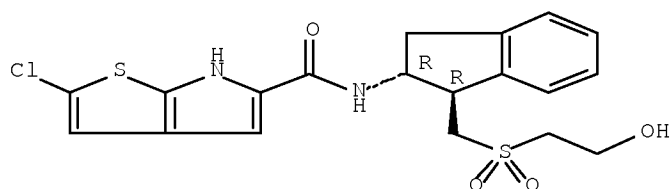
Absolute stereochemistry.



RN 845268-71-5 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[2-(hydroxyethyl)sulfonyl]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

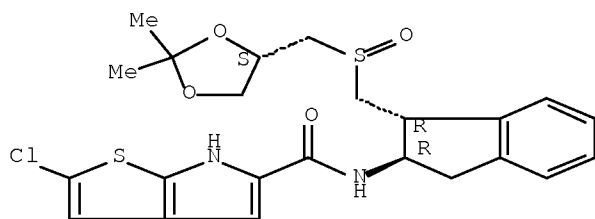
Absolute stereochemistry.



RN 845268-75-9 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl]sulfinyl]methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

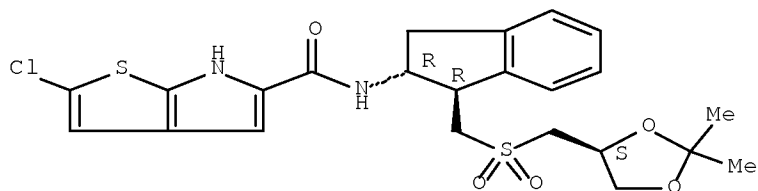
Absolute stereochemistry.



RN 845268-76-0 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl]sulfonyl]methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

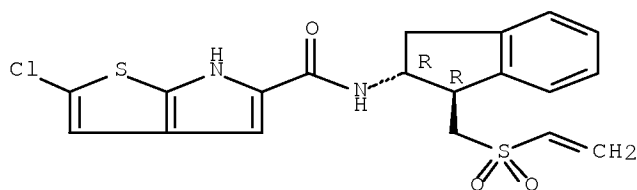
Absolute stereochemistry.



RN 845268-79-3 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[(ethenylsulfonyl)methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

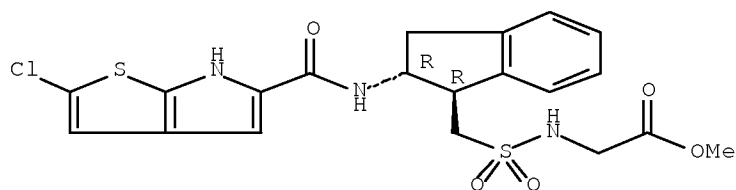
Absolute stereochemistry.



RN 845268-82-8 HCAPLUS

CN Glycine, N-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl]sulfonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 845268-33-9P 845268-36-2P 845268-37-3P  
 845268-38-4P 845268-39-5P 845268-41-9P  
 845268-42-0P 845268-43-1P 845268-45-3P  
 845268-46-4P 845268-48-6P 845268-49-7P  
 845268-50-0P 845268-51-1P 845268-52-2P  
 845268-55-5P 845268-57-7P 845268-58-8P  
 845268-59-9P 845268-61-3P 845268-62-4P  
 845268-63-5P 845268-68-0P 845268-70-4P  
 845268-72-6P 845268-73-7P 845268-74-8P  
 845268-77-1P 845268-78-2P 845268-80-6P  
 845268-81-7P 845268-83-9P 845268-84-0P  
 845268-85-1P 845268-87-3P 845268-89-5P  
 845268-91-9P 845268-92-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

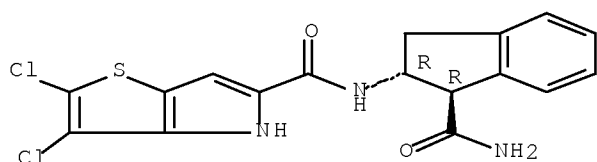
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thienopyrrole amide derivs. as glycogen phosphorylase inhibitors)

RN 845268-33-9 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-(aminocarbonyl)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (CA INDEX NAME)

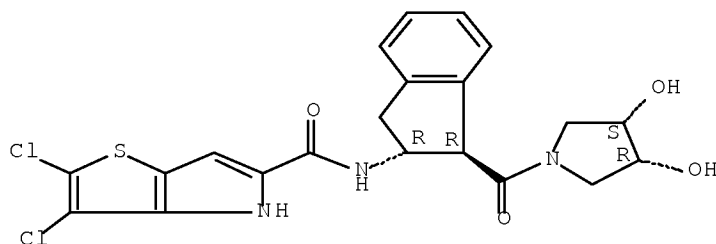
Absolute stereochemistry.



RN 845268-36-2 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]carbonyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

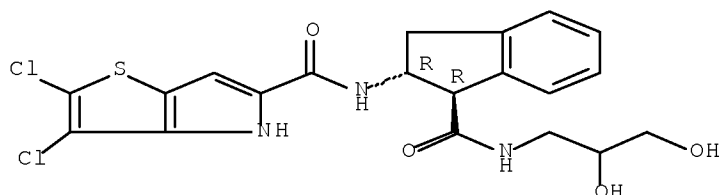
Absolute stereochemistry.



RN 845268-37-3 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[(2,3-dihydroxypropyl)amino]carbonyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

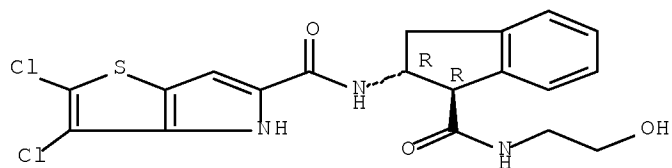
Absolute stereochemistry.



RN 845268-38-4 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(2-hydroxyethyl)amino]carbonyl]-1H-inden-2-yl]- (CA INDEX NAME)

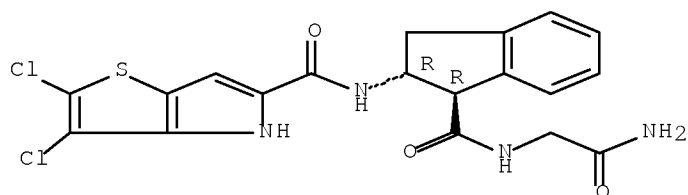
Absolute stereochemistry.



RN 845268-39-5 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[(2-amino-2-oxoethyl)amino]carbonyl]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (CA INDEX NAME)

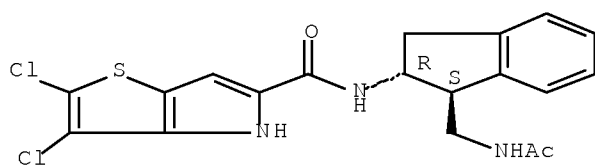
Absolute stereochemistry.



RN 845268-41-9 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1S,2R)-1-[(acetylamino)methyl]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (CA INDEX NAME)

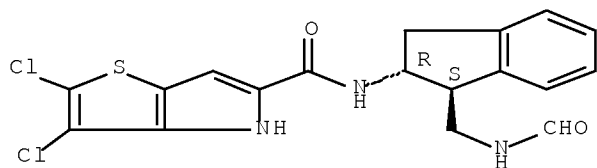
Absolute stereochemistry.



RN 845268-42-0 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1S,2R)-1-[(formylamino)methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

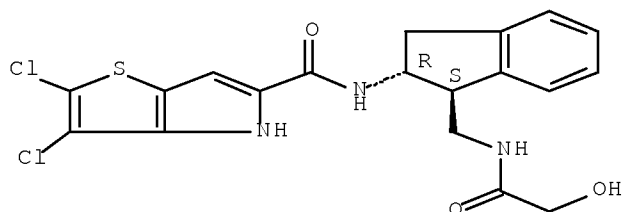
Absolute stereochemistry.



RN 845268-43-1 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1S,2R)-2,3-dihydro-1-[(2-hydroxyacetyl)amino]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

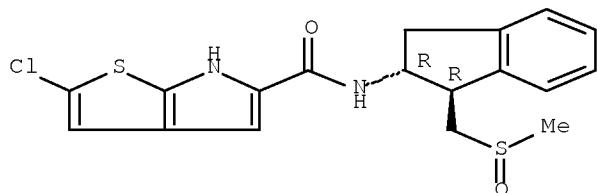
Absolute stereochemistry.



RN 845268-45-3 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(methylsulfinyl)methyl]-1H-inden-2-yl]- (CA INDEX NAME)

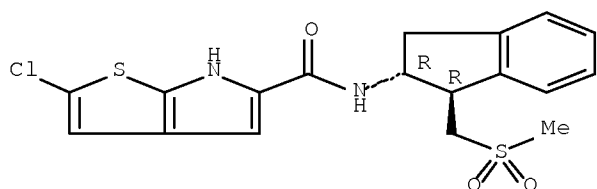
Absolute stereochemistry.



RN 845268-46-4 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(methylsulfonyl)methyl]-1H-inden-2-yl]- (CA INDEX NAME)

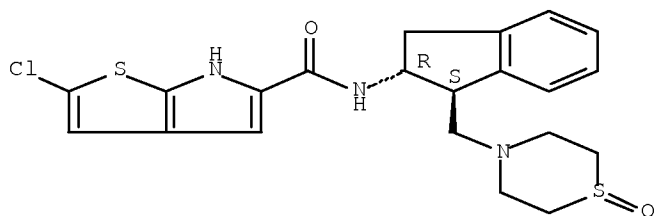
Absolute stereochemistry.



RN 845268-48-6 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1S,2R)-2,3-dihydro-1-[(1-oxido-4-thiomorpholinyl)methyl]-1H-inden-2-yl]- (CA INDEX NAME)

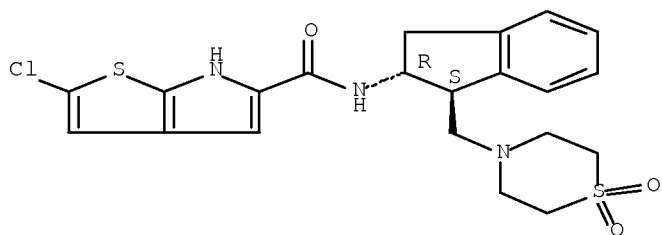
Absolute stereochemistry.



RN 845268-49-7 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1S,2R)-1-[(1,1-dioxido-4-thiomorpholinyl)methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

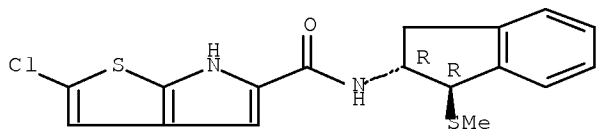
Absolute stereochemistry.



RN 845268-50-0 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-(methylthio)-1H-inden-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

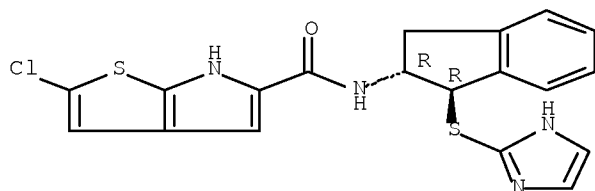


RN 845268-51-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-(1H-imidazol-2-ylthio)-1H-inden-2-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

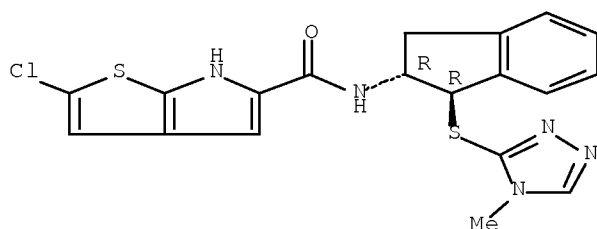




RN 845268-52-2 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(4-methyl-4H-1,2,4-triazol-3-yl)thio]-1H-inden-2-yl]-, rel- (CA INDEX NAME)

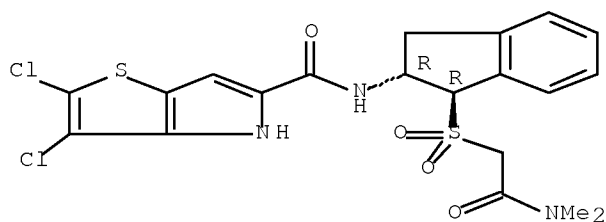
Relative stereochemistry.



RN 845268-55-5 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[2-(dimethylamino)-2-oxoethyl]sulfonyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

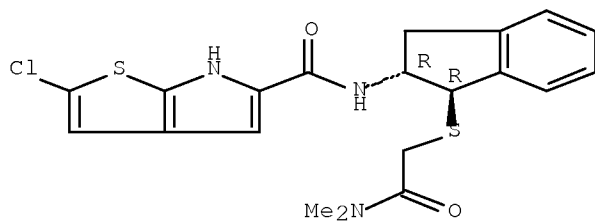
Absolute stereochemistry.



RN 845268-57-7 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[2-(dimethylamino)-2-oxoethyl]thio]-2,3-dihydro-1H-inden-2-yl]-, rel- (CA INDEX NAME)

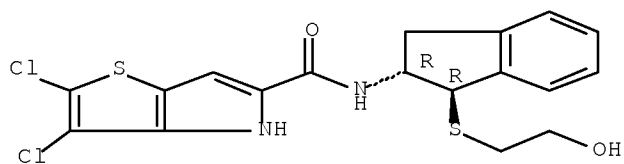
Relative stereochemistry.



RN 845268-58-8 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(2-hydroxyethyl)thio]-1H-inden-2-yl]- (CA INDEX NAME)

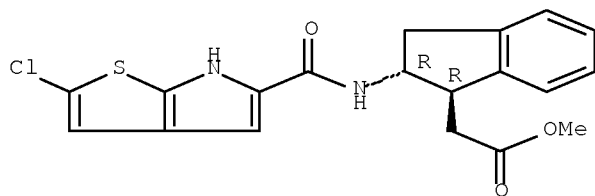
Absolute stereochemistry.



RN 845268-59-9 HCAPLUS

CN 1H-Indene-1-acetic acid, 2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-, methyl ester, (1R,2R)-rel- (CA INDEX NAME)

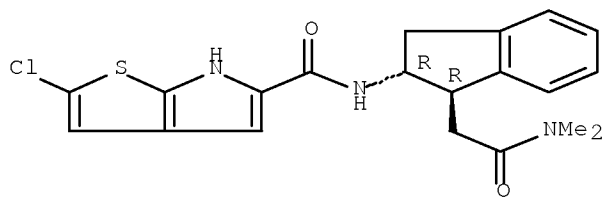
Relative stereochemistry.



RN 845268-61-3 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[2-(dimethylamino)-2-oxoethyl]-2,3-dihydro-1H-inden-2-yl]-, rel- (CA INDEX NAME)

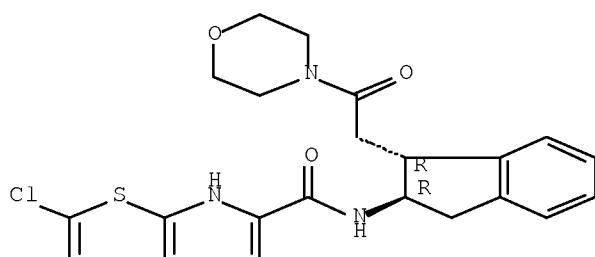
Relative stereochemistry.



RN 845268-62-4 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[2-(4-morpholinyl)-2-oxoethyl]-1H-inden-2-yl]-, rel- (CA INDEX NAME)

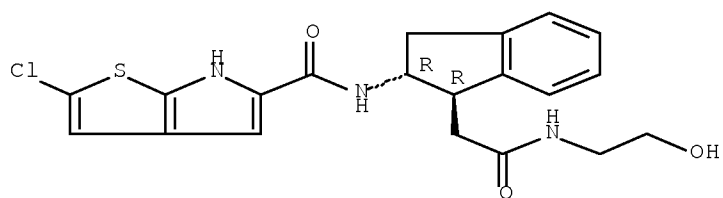
Relative stereochemistry.



RN 845268-63-5 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[2-[(2-hydroxyethyl)amino]-2-oxoethyl]-1H-inden-2-yl]-, rel- (CA INDEX NAME)

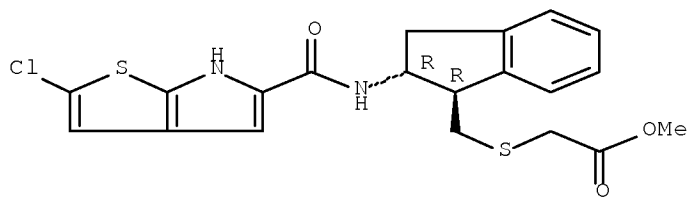
Relative stereochemistry.



RN 845268-68-0 HCAPLUS

CN Acetic acid, 2-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl]thio]-, methyl ester (CA INDEX NAME)

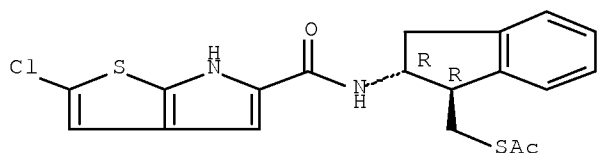
Absolute stereochemistry.



RN 845268-70-4 HCAPLUS

CN Ethanethioic acid, S-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl] ester (CA INDEX NAME)

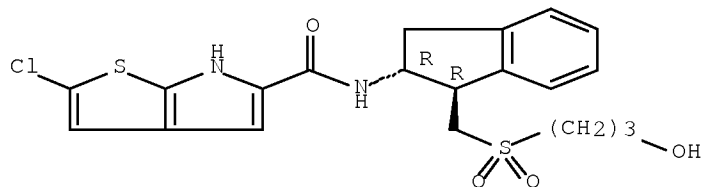
Absolute stereochemistry.



RN 845268-72-6 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(3-hydroxypropyl)sulfonyl]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

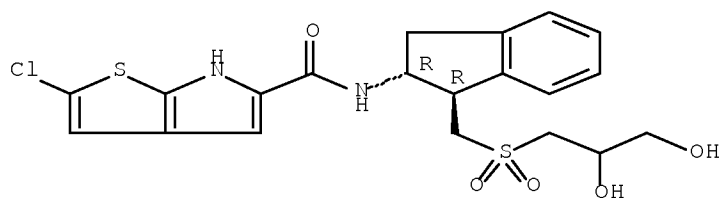
Absolute stereochemistry.



RN 845268-73-7 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[(2,3-dihydroxypropyl)sulfonyl]methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

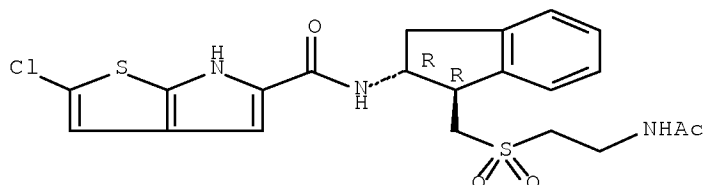
Absolute stereochemistry.



RN 845268-74-8 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[[2-(acetylamino)ethyl]sulfonyl]methyl]-2,3-dihydro-1H-inden-2-yl]-2-chloro-  
(CA INDEX NAME)

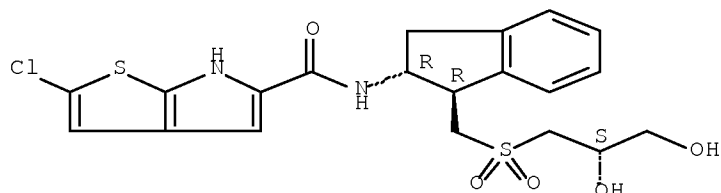
Absolute stereochemistry.



RN 845268-77-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[(2S)-2,3-dihydroxypropyl]sulfonyl]methyl]-2,3-dihydro-1H-inden-2-yl]-  
(CA INDEX NAME)

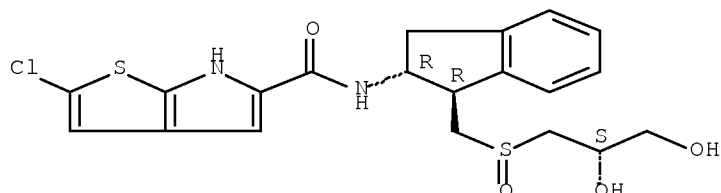
Absolute stereochemistry.



RN 845268-78-2 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[(2S)-2,3-dihydroxypropyl]sulfinyl]methyl]-2,3-dihydro-1H-inden-2-yl]-  
(CA INDEX NAME)

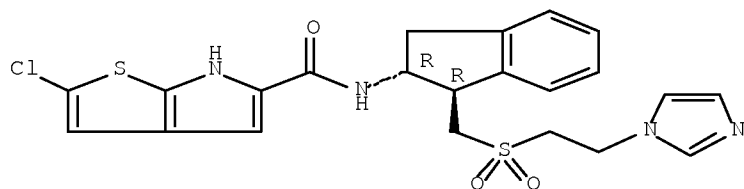
Absolute stereochemistry.



RN 845268-80-6 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[2-(1H-imidazol-1-yl)ethyl]sulfonyl]methyl]-1H-inden-2-yl]-  
(CA INDEX NAME)

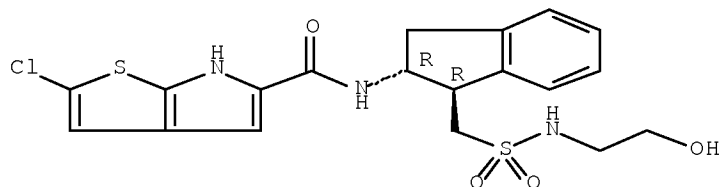
Absolute stereochemistry.



RN 845268-81-7 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(2-hydroxyethyl)amino]sulfonyl]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

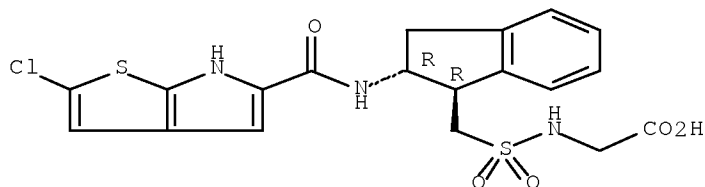
Absolute stereochemistry.



RN 845268-83-9 HCAPLUS

CN Glycine, N-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methyl]sulfonyl]- (CA INDEX NAME)

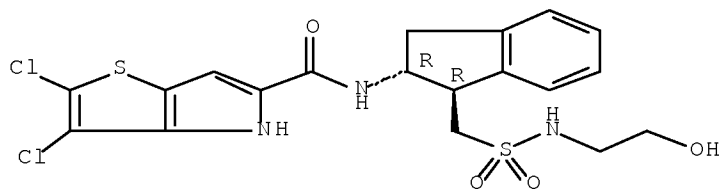
Absolute stereochemistry.



RN 845268-84-0 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[[[(2-hydroxyethyl)amino]sulfonyl]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

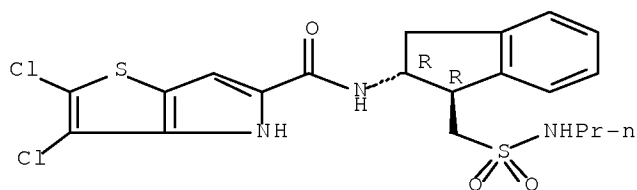
Absolute stereochemistry.



RN 845268-85-1 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(propylamino)sulfonyl]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

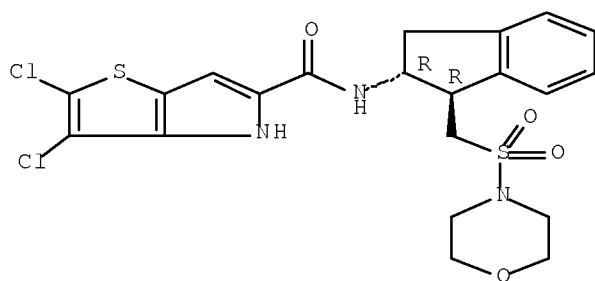
Absolute stereochemistry.



RN 845268-87-3 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(4-morpholinylsulfonyl)methyl]-1H-inden-2-yl]- (CA INDEX NAME)

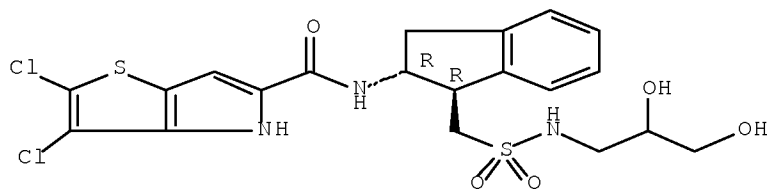
Absolute stereochemistry.



RN 845268-89-5 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[[(2,3-dihydroxypropyl)amino]sulfonyl]methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

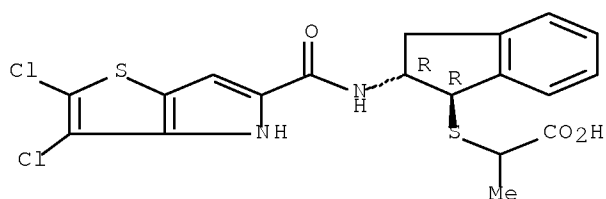
Absolute stereochemistry.



RN 845268-91-9 HCAPLUS

CN Propanoic acid, 2-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]thio]- (CA INDEX NAME)

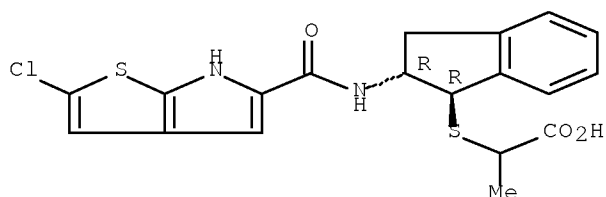
Absolute stereochemistry.



RN 845268-92-0 HCAPLUS

CN Propanoic acid, 2-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



IT 845269-11-6P 845269-12-7P 845269-14-9P  
845269-16-1P 845269-28-5P 845269-29-6P  
845269-64-9P 845269-66-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

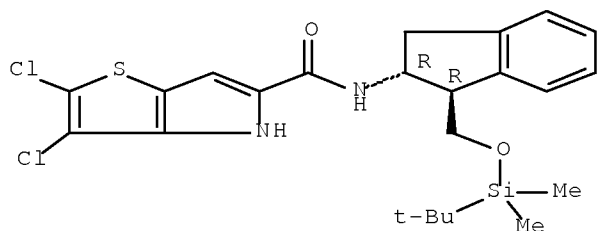
(preparation of thienopyrrole amide derivs. as glycogen phosphorylase inhibitors)

RN 845269-11-6 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

Absolute stereochemistry.

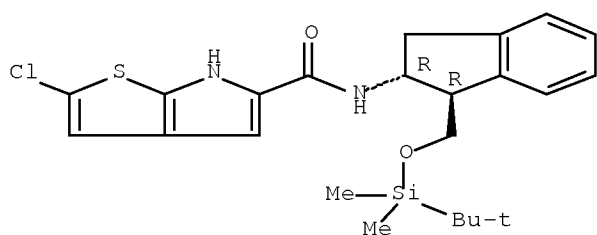




RN 845269-12-7 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2,3-dihydro-1H-inden-2-yl]- (CA INDEX NAME)

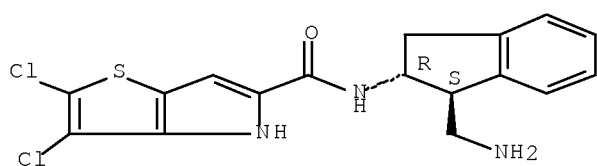
Absolute stereochemistry.



RN 845269-14-9 HCAPLUS

CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1S,2R)-1-(aminomethyl)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (CA INDEX NAME)

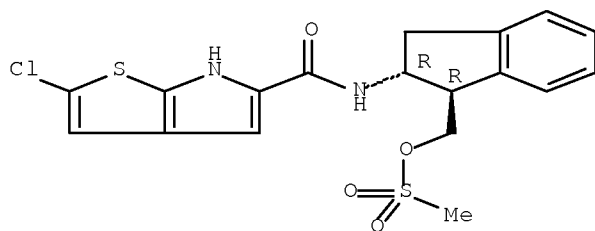
Absolute stereochemistry.



RN 845269-16-1 HCAPLUS

CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(methylsulfonyl)oxy]methyl]-1H-inden-2-yl]- (CA INDEX NAME)

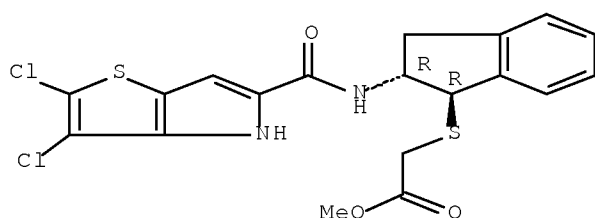
Absolute stereochemistry.



RN 845269-28-5 HCAPLUS

CN Acetic acid, 2-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]thio]-, methyl ester (CA INDEX NAME)

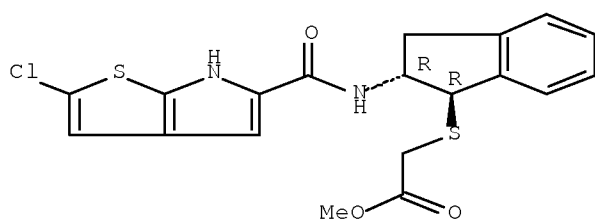
Absolute stereochemistry.



RN 845269-29-6 HCAPLUS

CN Acetic acid, 2-[[[(1R,2R)-2-[[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]thio]-, methyl ester, rel- (CA INDEX NAME)

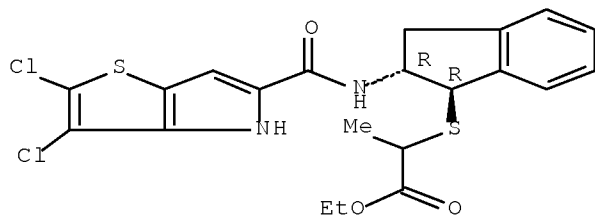
Relative stereochemistry.



RN 845269-64-9 HCAPLUS

CN Propanoic acid, 2-[[[(1R,2R)-2-[[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]thio]-, ethyl ester (CA INDEX NAME)

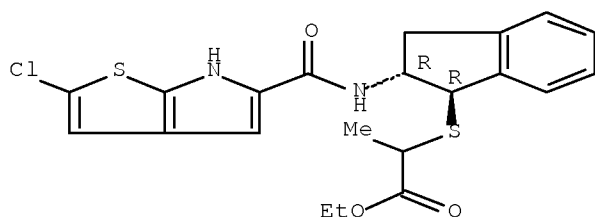
Absolute stereochemistry.



RN 845269-66-1 HCAPLUS

CN Propanoic acid, 2-[[[(1R,2R)-2-[[[2-chloro-6H-thieno[2,3-b]pyrrol-5-yl]carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]thio]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:353446 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:355151

TITLE: Preparation of (heteroarylcarbonylamino)bicycloheptane alkenoic acid and -alkanoic acid derivatives as prostaglandin D2 (PGD2) receptor antagonists and pharmaceutical compositions containing them

INVENTOR(S): Tanimoto, Norihiko; Hiramatsu, Yoshiharu; Honma, Tsunetoshi; Inagaki, Masanao

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

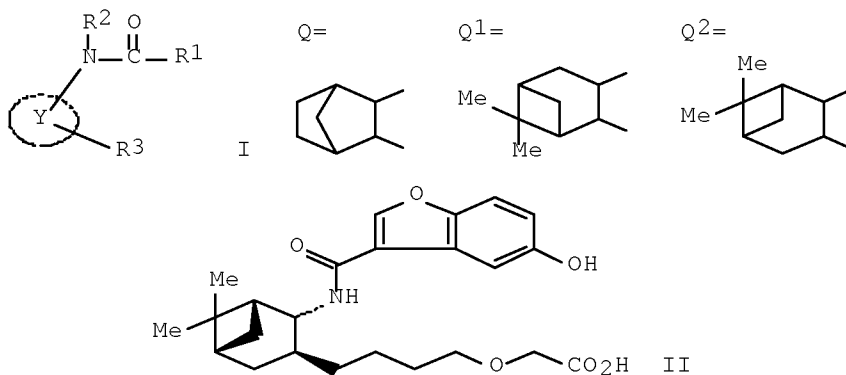
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036583	A1	20020510	WO 2001-JP9435	20011026
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,			

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2001096013 A5 20020515 AU 2001-96013 20011026  
 EP 1338594 A1 20030827 EP 2001-976842 20011026  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 US 20040054003 A1 20040318 US 2003-399605 20030418  
 PRIORITY APPLN. INFO.: JP 2000-334383 A 20001101  
 WO 2001-JP9435 W 20011026  
 OTHER SOURCE(S): MARPAT 136:355151  
 GI



AB Bicycloheptane amide derivs. of the general formula (I; wherein Y is a bicyclic ring Q, Q1, or Q2; R1 is optionally substituted heteroaryl; R2 is hydrogen or alkyl; R3 is CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH:CHCO<sub>2</sub>R<sub>4</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-X1-CH<sub>2</sub>CO<sub>2</sub>R<sub>4</sub>, CH<sub>2</sub>CH:CHCH<sub>2</sub>-X1-CH<sub>2</sub>CO<sub>2</sub>R<sub>4</sub>, or CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>R<sub>4</sub>; R<sub>4</sub> is hydrogen or alkyl; and X1 is O or S), which are metabolically stable and exhibit PGD<sub>2</sub> receptor antagonism, are prepared. The title compound (II) in vitro inhibited the binding of [3H]PGD<sub>2</sub> to human blood platelet membrane with IC<sub>50</sub> of 0.0035 μmol/L and suppressed the PGD<sub>2</sub>-induced increase in cAMP level in human platelet rich plasma. These compds. are useful for the treatment of rhinostenosis (stuffy nose), allergic conjunctivitis, and allergic rhinitis.

IT 420803-98-1P 420803-99-2P 420804-14-4P  
 420804-15-5P

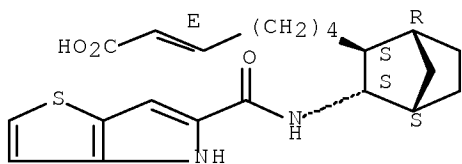
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (heteroarylcarbonylamino)bicycloheptanealkenoic acid and -alkanoic acid derivs. as prostaglandin D<sub>2</sub> (PGD<sub>2</sub>) receptor antagonists for therapeutic agents)

RN 420803-98-1 HCAPLUS

CN 2-Heptenoic acid, 7-[(1R,2S,3S,4S)-3-[(4H-thieno[3,2-b]pyrrol-5-ylcarbonyl)amino]bicyclo[2.2.1]hept-2-yl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.

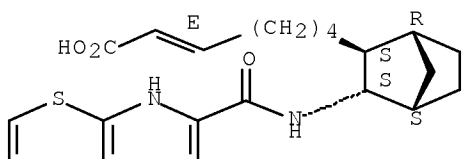


RN 420803-99-2 HCAPLUS

CN 2-Heptenoic acid, 7-[(1R, 2S, 3S, 4S)-3-[(6H-thieno[2,3-b]pyrrol-5-ylcarbonyl)amino]bicyclo[2.2.1]hept-2-yl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

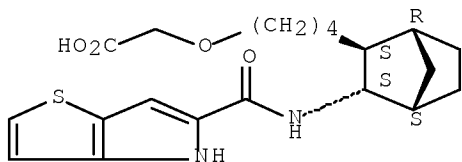
Double bond geometry as shown.



RN 420804-14-4 HCAPLUS

CN Acetic acid, 2-[4-[(1R, 2S, 3S, 4S)-3-[(4H-thieno[3,2-b]pyrrol-5-ylcarbonyl)amino]bicyclo[2.2.1]hept-2-yl]butoxy]- (CA INDEX NAME)

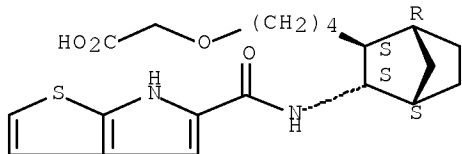
Absolute stereochemistry. Rotation (+).



RN 420804-15-5 HCAPLUS

CN Acetic acid, 2-[4-[(1R, 2S, 3S, 4S)-3-[(6H-thieno[2,3-b]pyrrol-5-ylcarbonyl)amino]bicyclo[2.2.1]hept-2-yl]butoxy]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

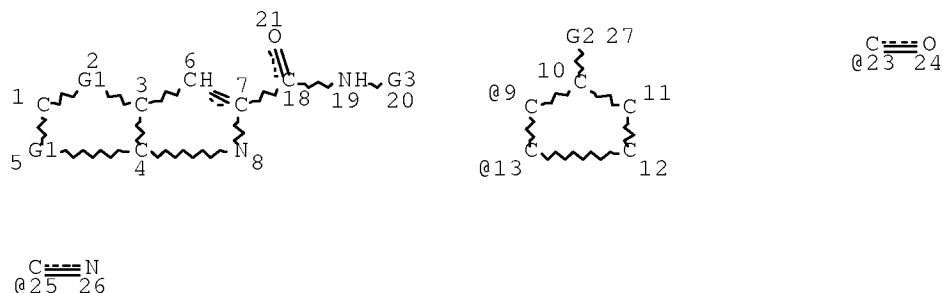
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THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=&gt; =&gt; D STAT QUE L47

L27 STR



VAR G1=C/S

VAR G2=23/AK/25/S/CY

VAR G3=9/13

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

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L33      4 SEA FILE=HCAPLUS ABB=ON PLU=ON L29
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ALAN M"/AU OR "BIRCH ALAN MARTIN"/AU) OR BIRCH A/AU
L35      165 SEA FILE=HCAPLUS ABB=ON PLU=ON BENNETT S/AU OR BENNETT S
L/AU OR BENNETT S N/AU OR BENNETT STUART/AU OR BENNETT STUART
N?/AU OR BENNETT NORMAN/AU OR BENNETT NORMAN L/AU OR STUART
N/AU OR STUART NORMAN/AU
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CAMPBELL A D/AU
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"GODFREY LINDA V"/AU) OR GODFREY L/AU OR GODFREY L ?/AU
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L39 OR L40)
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L47 13 SEA FILE=HCAPLUS ABB=ON PLU=ON (L41 OR L42 OR L43 OR L44 OR L45 OR L46) NOT L33

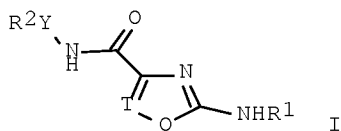
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L47 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:1419924 HCAPLUS Full-text  
 DOCUMENT NUMBER: 148:55034  
 TITLE: Preparation of aminooxazolecarboxamides as acyl  
 CoA:diacylglycerol acyltransferase (DGAT1) inhibitors  
 for the treatment of diabetes and obesity.  
 INVENTOR(S): Birch, Alan Martin; Davies, Robert; Whalley, David  
 Paul  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 61pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141538	A1	20071213	WO 2007-GB2119	20070608
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: GB 2006-11507 A 20060610  
 OTHER SOURCE(S): MARPAT 148:55034  
 GI



AB Title compds. [I; R1 = (substituted) aryl, heteroaryl; T = N, CH, CMe; Y = bond, (CR40R41)s, X6(CR40R41)t; R40, R41 = H, alkyl, OH, halo, cyano, etc.; s, t = 0-6; X6 = CO, CO2, O, S, SO, SO2, imino, etc.; R2 = (substituted) aryl, cycloalkyl, heterocyclyl], were prepared Thus, 2-[(2,4,5-trifluorophenyl)amino]oxazole-4-carboxylic acid (preparation given), Me trans-2-[4-(4-aminophenyl)cyclohexyl]acetate (preparation given), ECAC, and HOBt

were stirred together in dimethylacetamide at room temperature for 100 min. to give Me trans-2-[4-[4-[[2-[(2,4,5-trifluorophenyl)amino]oxazole-4-carbonyl]amino]phenyl]cyclohexyl]acetate. The 3,4-difluorophenyl analog of the above inhibited DGAT1 with IC50 = 32  $\mu$ M.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:79573 HCAPLUS Full-text

DOCUMENT NUMBER: 146:197770

TITLE: Development of potent, orally active  
1-substituted-3,4-dihydro-2-quinolone glycogen  
phosphorylase inhibitors

AUTHOR(S): Birch, Alan M.; Kenny, Peter W.; Oikonomakos, Nikos  
G.; Otterbein, Ludovic; Schofield, Paul; Whittamore,  
Paul R. O.; Whalley, Dave P.

CORPORATE SOURCE: AstraZeneca, Cheshire, SK10 4TG, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007),  
17(2), 394-399

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:197770

AB A series of substituted 3,4-dihydro-2-quinolone glycogen phosphorylase inhibitors, which have potential as antidiabetic agents, is described. Initial members of the series showed good enzyme inhibitory potency but poor phys. properties. Optimization of the 1-substituent led to 2,3-dihydroxypropyl compds. which showed good in vitro potency and improved phys. properties, together with good DMPK profiles and acute in vivo efficacy in a rat model. X-ray crystallog. data are presented, showing an unexpected variety of binding orientations at the dimer interface site.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1001125 HCAPLUS Full-text

DOCUMENT NUMBER: 146:215

TITLE: Novel thienopyrrole glycogen phosphorylase inhibitors:

Synthesis, in vitro SAR and crystallographic studies  
AUTHOR(S): Whittamore, Paul R. O.; Addie, Matthew S.; Bennett,  
Stuart N. L.; Birch, Alan M.; Butters, Michael;  
Godfrey, Linda; Kenny, Peter W.; Morley, Andrew D.;  
Murray, Paul M.; Oikonomakos, Nikos G.; Otterbein,  
Ludovic R.; Pannifer, Andrew D.; Parker, Jeremy S.;  
Readman, Kristy; Siedlecki, Pawel S.; Schofield, Paul;  
Stocker, Andy; Taylor, Melvyn J.; Townsend, Linda A.;  
Whalley, David P.; Whitehouse, Jennifer

CORPORATE SOURCE: AstraZeneca, Cheshire, SK10 4TG, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),  
16(21), 5567-5571

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:215

AB Two series of novel thienopyrrole inhibitors of recombinant human liver glycogen phosphorylase a (GPa) which are effective in reducing glucose output

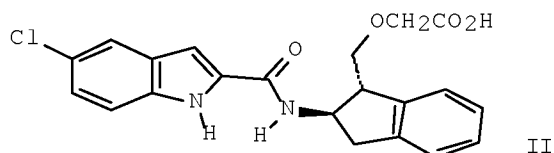
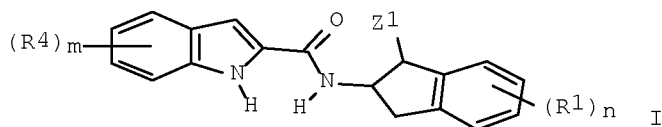


from rat hepatocytes are described. Representative compds. have been shown to bind at the dimer interface site of the rabbit muscle enzyme by X-ray crystallog.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:791885 HCAPLUS Full-text  
 DOCUMENT NUMBER: 145:210884  
 TITLE: Preparation of indolylcarbonylaminoindane derivatives with glycogen phosphorylase inhibitory activity  
 INVENTOR(S): Birch, Alan Martin; Johnstone, Craig; Plowright, Alleyn Thomas; Simpson, Iain; Whittamore, Paul Robert Owen  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited  
 SOURCE: PCT Int. Appl., 71pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

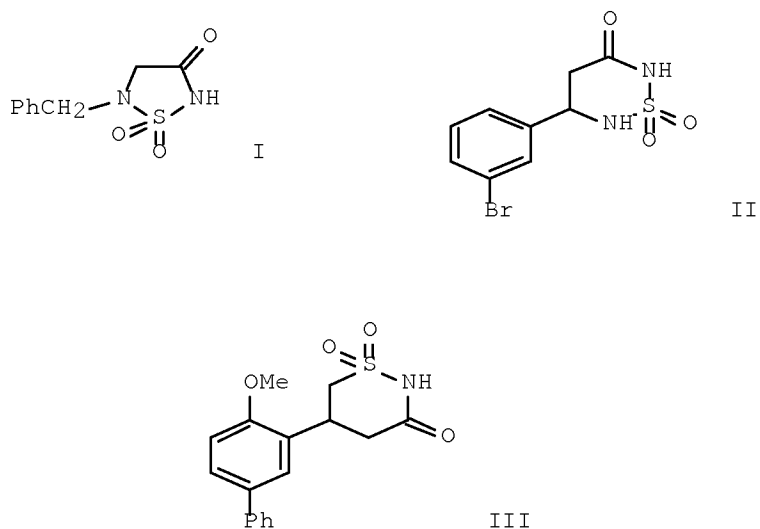
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006082400	A1	20060810	WO 2006-GB347	20060202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1848693	A1	20071031	EP 2006-709600	20060202
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008528666	T	20080731	JP 2007-553690	20060202
IN 2007DN05662	A	20070817	IN 2007-DN5662	20070723
CN 101151245	A	20080326	CN 2006-80010225	20070928
PRIORITY APPLN. INFO.:			GB 2005-2467	A 20050205
			GB 2005-2468	A 20050205
			WO 2006-GB347	W 20060202
OTHER SOURCE(S):			CASREACT 145:210884; MARPAT 145:210884	
GI				



AB Title compds. I [R1 = halo, NO2, CN, OH, etc.; R4 = halo, OH, F3C, etc.; m = 0-2; n = 0-2; when n = 2 the two R1 groups may together form (un)substituted cyclic or heterocyclic ring; Z1 = alkylencarboxylic acid, cycloalkylencarboxylic acid, alkoxyalkylcarboxylic acid, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as possessing glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity. Thus, e.g., II was prepared by amidation of tert-Bu {[(1R,2R)-2-amino-2,3-dihydro-1H-inden-1-yl]methoxy}acetate (preparation given) with 5-chloroindole-2-carboxylic acid followed by deprotection. In glycogen phosphorylase inhibition assays, I were found to typically possess IC50 values in the range 100µM to 1nM. Processes for the manufacture of compds. and pharmaceutical compns. containing them are described.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:308290 HCAPLUS Full-text  
 DOCUMENT NUMBER: 143:7658  
 TITLE: Expedient syntheses of sulfonylhydantoins and two six-membered analogs  
 AUTHOR(S): Campbell, Andrew D.; Birch, Alan M.  
 CORPORATE SOURCE: Research and Development, AstraZeneca, Cheshire, SK10 4TG, UK  
 SOURCE: Synlett (2005), (5), 834-838  
 CODEN: SYNLES; ISSN: 0936-5214  
 PUBLISHER: Georg Thieme Verlag  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 143:7658  
 GI



AB A range of  $\alpha$ -amino esters can be turned into sulfonylhydantoins in a single, atom-economic step using sulfamide and DBU. E.g., reaction of BnNHCH<sub>2</sub>CO<sub>2</sub>Et with sulfamide and DBU gave 65% sulfonylhydantoin I. This procedure obviates the need for a three- or four-step sequence utilized by traditional procedures. Two new six-membered analogs [5-aryl-1,2,6-thiadiazinan-3-one 1,1-dioxides and 5-aryl-1,2-thiazinan-3-one 1,1-dioxides], e.g. II and III, have also been prepared utilizing novel synthetic protocols.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:216670 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:298003  
 TITLE: Preparation of thieno[3,2-b]pyrrole amide derivatives as glycogen phosphorylase inhibitors  
 INVENTOR(S): Birch, Alan Martin; Simpson, Iain; Stocker, Andrew; Whittamore, Paul Robert Owen  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 84 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020987	A1	20050310	WO 2004-GB3648	20040827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

PRIORITY APPLN. INFO.:

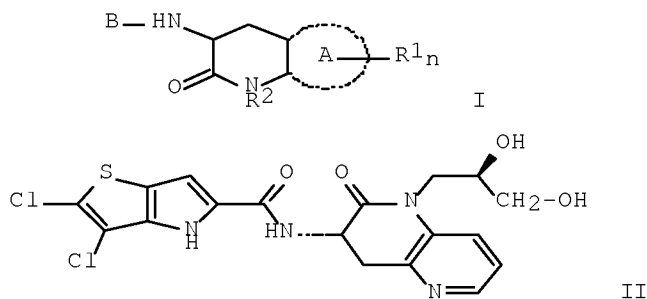
GB 2003-20422

A 20030830

OTHER SOURCE(S):

CASREACT 142:298003; MARPAT 142:298003

GI



AB Title compds. represented by the formula I [wherein B = (un)substituted pyrrolyl-2-carbonyl or indolyl-2-carbonyl; R1 = independently halo, nitro, carbamoyl, alkanoyloxy, etc., R2 = H, (hydroxy)alkyl, alkylcarbonylaminoalkyl, etc.; A = pyridylene ring; n = 0 or 1; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors. For example, II was given in a multi-step synthesis starting from 4,5-dichlorothiophene-2-carboxaldehyde. II showed thermodyn. solubility (16.2  $\mu$ M) and plasma-protein binding activity with 0.06  $\mu$ M. Thus, I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia, hyperglucagonemia, cardiac ischemia or obesity in a warm-blooded animal, such as man (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:216668 HCAPLUS Full-text

DOCUMENT NUMBER: 142:297984

TITLE: Preparation of indole-2-carboxamide derivatives as glycogen phosphorylase inhibitors

INVENTOR(S): Bennett, Stuart Norman Lile; Simpson, Iain

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020985	A1	20050310	WO 2004-GB3620	20040825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

PRIORITY APPLN. INFO.:

GB 2003-20242

A 20030829

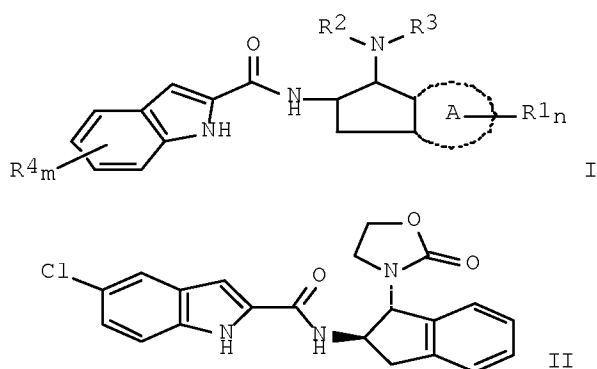
GB 2004-1800

A 20040128

OTHER SOURCE(S):

CASREACT 142:297984; MARPAT 142:297984

GI



AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; m = 0-2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R2R3 = (un)substituted heterocyclic ring; R4 = independently halo, OH, carboxy, etc.; with a proviso; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors (no data). For example, II was given in a multi-step synthesis starting from 5-chloroindole-2-carboxylic acid. I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity (no data).

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:182625 HCAPLUS Full-text

DOCUMENT NUMBER: 142:261398

TITLE: Preparation of indole-2-carboxamide derivatives as glycogen phosphorylase inhibitors

INVENTOR(S): Bennett, Stuart Norman Lile; Simpson, Iain; Whittamore, Paul Robert Owen

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

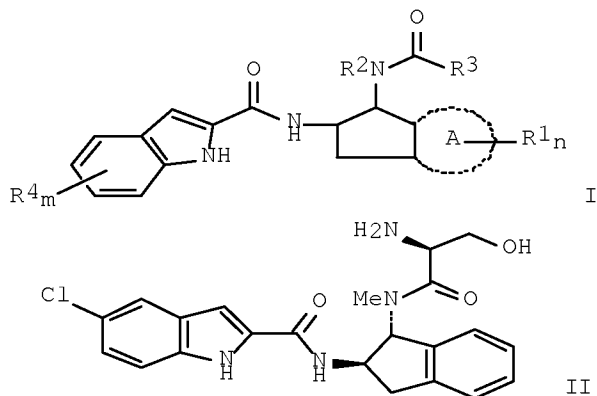
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019172	A1	20050303	WO 2004-GB3552	20040818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1660448	A1	20060531	EP 2004-801875	20040818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007503421	T	20070222	JP 2006-524409	20040818
US 20060199966	A1	20060907	US 2006-567798	20060209
PRIORITY APPLN. INFO.:			GB 2003-19690	A 20030822
			WO 2004-GB3552	W 20040818
OTHER SOURCE(S):			CASREACT 142:261398; MARPAT 142:261398	
GI				

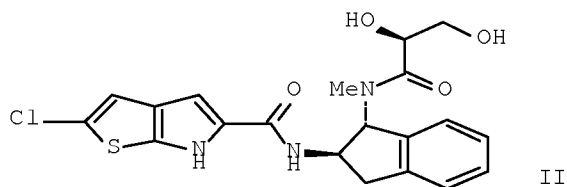
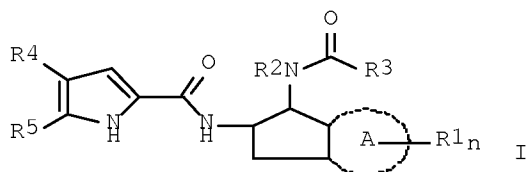


AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; m = 0-2; R1 = independently halo, NO<sub>2</sub>, CN, carbamoyl, etc.; R2, R3 = independently (halo)alkyl, CF<sub>3</sub>, hydroxyalkyl, etc.; R4 = independently halo, OH, carboxy, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors. For example, II•HCl was given in a multi-step synthesis starting from 5-chloroindole-2-carboxylic acid. II showed 173 μM thermodyn. solubility and plasma protein binding activity with K<sub>i</sub> value of 0.5 μM. Thus, I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:177891 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:261397  
 TITLE: Preparation of thieno[2,3-b]pyrrole-5-carboxamide derivatives as glycogen phosphorylase inhibitors  
 INVENTOR(S): Bennett, Stuart Norman Lile; Simpson, Iain; Whittamore, Paul Robert Owen  
 PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited  
 SOURCE: PCT Int. Appl., 84 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018637	A1	20050303	WO 2004-GB3546	20040818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1656136	A1	20060517	EP 2004-768106	20040818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007503420	T	20070222	JP 2006-524408	20040818
US 20060264494	A1	20061123	US 2006-567801	20060209
PRIORITY APPLN. INFO.:			GB 2003-19759	A 20030822
			WO 2004-GB3546	W 20040818
OTHER SOURCE(S):			CASREACT 142:261397; MARPAT 142:261397	
GI				



AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R2, R3 = independently (halo)alkyl, CF3, hydroxyalkyl, etc.; R4R5 = -SC(R6):C(R7)- or -C(R7):C(R6)S-; R6, R7 = independently H, halo, OH, carboxy, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of Me 2-chlorothiophene-3-carboxaldehyde with Me azidoacetate. II showed plasma-protein binding activity with an IC50 value of 0.07  $\mu$ M. Thus, I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:136547 HCAPLUS Full-text

DOCUMENT NUMBER: 142:240308

TITLE: Preparation of indole-2-carboxamide derivatives as glycogen phosphorylase inhibitors

INVENTOR(S): Birch, Alan Martin; Bennett, Stuart Norman Lile; Godfrey, Linda; Simpson, Iain; Whittamore, Paul Robert Owen

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

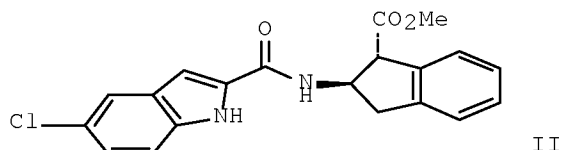
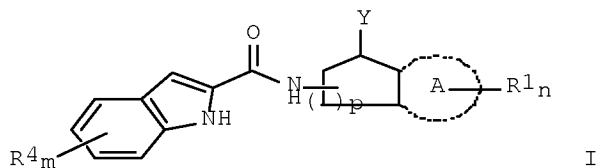
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013975	A1	20050217	WO 2004-GB3364	20040804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1658067	A1	20060524	EP 2004-743655	20040804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007501780	T	20070201	JP 2006-522398	20040804
US 20060211760	A1	20060921	US 2006-566068	20060126
PRIORITY APPLN. INFO.:			GB 2003-18464	A 20030807
			WO 2004-GB3364	W 20040804

OTHER SOURCE(S): CASREACT 142:240308; MARPAT 142:240308

GI





AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; m = 0-2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R4 = independently halo, OH, carboxy, etc.; p = 1 or 2; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors (no data). For example, II was given in a multi-step synthesis starting from Me 2-oxoindane-1-carboxylate. Thus, I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:719489 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:261278

TITLE: Preparation of heterocyclic amides as inhibitors of glycogen phosphorylase

INVENTOR(S): Birch, Alan Martin; Morley, Andrew David; Stocker, Andrew; Whittamore, Paul Robert Owen

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074532	A1	20030912	WO 2003-GB877	20030304
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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AU 2003214377	A1	20030916	AU 2003-214377	20030304

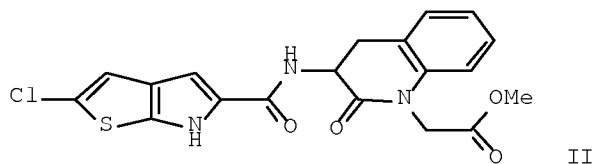
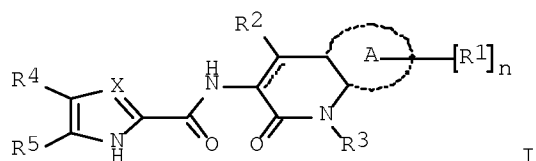
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EP 1483270	A1	20041208	EP 2003-709947	20030304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1653070	A	20050810	CN 2003-810214	20030304
CN 100384852	C	20080430		
JP 2005526058	T	20050902	JP 2003-573000	20030304
NZ 534990	A	20060224	NZ 2003-534990	20030304
IN 2004DN02389	A	20070406	IN 2004-DN2389	20040817
ZA 2004006679	A	20050118	ZA 2004-6679	20040823
US 20050131015	A1	20050616	US 2004-506741	20040903
US 7129249	B2	20061031		
MX 2004PA08614	A	20041206	MX 2004-PA8614	20040906
NO 2004003852	A	20040914	NO 2004-3852	20040914
US 20070043069	A1	20070222	US 2006-463144	20060808
US 7276517	B2	20071002		

PRIORITY APPLN. INFO.:

GB 2002-5165	A	20020306
WO 2003-GB877	W	20030304
US 2004-506741	A1	20040903

OTHER SOURCE(S): MARPAT 139:261278

GI



AB The title compds. [I; X = N, CH; R4 and R5 together are either SCR6:CR7 or CR7:CR6S; R6, R7 = H, halo, alkyl, etc.; A = phenylene or heteroarylene; n = 0-2; R1 = halo, NO2, CN, OH, CO2H, etc.; R2 = H, OH, CO2H; R3 = H, OH, aryl, heterocyclyl, etc.] which possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity such as diabetes type II, were prepared. Thus, amidation of 5-carboxy-2-chloro-6H-thieno[2,3-b]pyrrole with Me (3-amino-2-oxo-3,4-dihydroquinolin-1(2H)-yl)acetate (prepn. given) in the presence of HOBT and EDCI in DMF afforded 76% II. The compds. I showed IC50 values in the range 100µM to 1nM against hrl glycogen phosphorylase a (hrl GPa). Pharmaceutical composition comprising the compound I was claimed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:719488 HCAPLUS Full-text

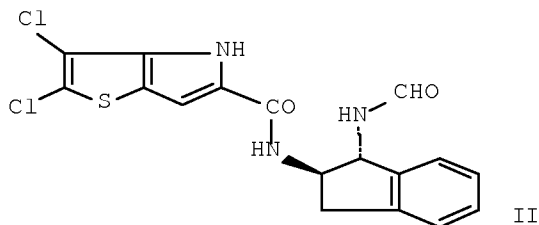
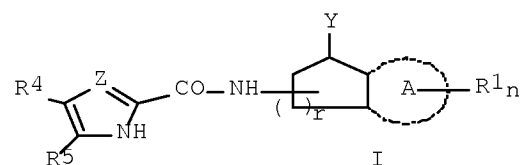
DOCUMENT NUMBER: 139:246010

TITLE: Preparation of heterocyclic amide derivatives having

## US 10/566063

glycogen phosphorylase inhibitory activity  
 INVENTOR(S): Whittamore, Paul Robert Owen; Bennett, Stuart  
 Norman Lile; Simpson, Iain  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 131 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074531	A1	20030912	WO 2003-GB875	20030304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2477125	A1	20030912	CA 2003-2477125	20030304
AU 2003209445	A1	20030916	AU 2003-209445	20030304
BR 2003008145	A	20041207	BR 2003-8145	20030304
EP 1483271	A1	20041208	EP 2003-743418	20030304
EP 1483271	B1	20061122		
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CN 1639167	A	20050713	CN 2003-805124	20030304
CN 1307183	C	20070328		
JP 2005524669	T	20050818	JP 2003-572999	20030304
NZ 534684	A	20060224	NZ 2003-534684	20030304
AT 346072	T	20061215	AT 2003-743418	20030304
ES 2276092	T3	20070616	ES 2003-743418	20030304
ZA 2004006685	A	20051031	ZA 2004-6685	20040823
US 20050131052	A1	20050616	US 2004-506746	20040903
US 7122567	B2	20061017		
MX 2004PA08611	A	20041206	MX 2004-PA8611	20040906
NO 2004004033	A	20041125	NO 2004-4033	20040924
HK 1070365	A1	20070427	HK 2005-103055	20050411
PRIORITY APPLN. INFO.:			GB 2002-5170	A 20020306
			WO 2003-GB875	W 20030304
OTHER SOURCE(S):		MARPAT 139:246010		
GI				



AB Heterocyclic amides of formula I (most examples are N-indenyl 4H-thieno[3,2-b]pyrrole-5-carboxamides, e.g. 2,3-dichloro-N-[(1R\*,2R\*)-1-(formylamino)-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide (shown as II)) (Z is CH or N; R4 and R5 together are either -SC(R6):C(R7)- or -C(R7):C(R6)S-; R6 and R7 = for example H, halo, C1-4alkyl, and C1-4alkanoyl; A is phenylene or heteroarylene; n is 0, 1 or 2; R1 = for example halo, nitro, cyano, hydroxy, carboxy; r is 1 or 2; Y is -NR2R3 or -OR3; R2 and R3 = for example H, hydroxy, aryl, heterocyclyl and C1-4alkyl ((un)substituted by 1 or 2 R8 groups); R4 = for example H, halo, nitro, cyano, hydroxy, C1-4alkyl, and C1-4alkanoyl; R8 = for example hydroxy, -COCOR9, -C(O)N(R9)(R10), -NHC(O)R9, (R9)(R10)N- and -COOR9; R9 and R10 = for example H, hydroxy, C1-4alkyl ((un)substituted by 1 or 2 R13); R13 = hydroxy, halo, trihalomethyl and C1-4alkoxy) or a pharmaceutically acceptable salt or pro-drug thereof are claimed; they possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity (e.g. type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia, hyperglucagonemia, cardiac ischemia, obesity). Processes for the manufacture of said heterocyclic amide derivs. and pharmaceutical compns. containing them are described. Inhibitory activity (IC50) of I in the direction of glycogen synthesis and on glycogen degradation were measure and are generally 100  $\mu$ M to 1 nM; 4.5  $\mu$ M for 2,3-dichloro-N-[(1S\*,2S\*)-1-[(3-thienylcarbonyl)amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide in the latter assay. Sixty-four example prepns. and/or characterization data for I and 23 for intermediates are included. For example, to prepare 2,3-dichloro-N-[(1R\*,2R\*)-1-(formylamino)-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R\*,2R\*)-1-amino-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide trifluoroacetate (0.5 mmol), formic acid (1.4 mmol), DIPEA (1.0 mmol) and HOBT (0.5 mmol) were dissolved in CH2Cl2 (5 mL), stirred for 5 min, EDCI (0.625 mmol) added and the reaction stirred for 1 h; formic acid (1.4 mmol) and EDCI (1.25 mmol) were added, the reaction stirred for 2 h and the volatiles removed by evaporation under reduced pressure; workup gave 89% of the product as a white foam. The carboxamide reactant was prepared (82 %) by deprotection of 2,3-dichloro-5-[N-[(1R\*,2R\*)-1-[[N-(1,1-dimethylethoxy)carbonyl]amino]indan-2-yl]carbamoyl]-4H-thieno[3,2-b]pyrrole using trifluoroacetic acid and this reactant was prepared (80 %) from 5-carboxy-2,3-dichloro-4H-thieno[3,2-b]pyrrole (preparation given) and trans-2-amino-1-[[[1,1-dimethylethoxy)carbonyl]amino]indan (preparation given) using DIPEA, HOBT in CH2Cl2 followed by EDCI.

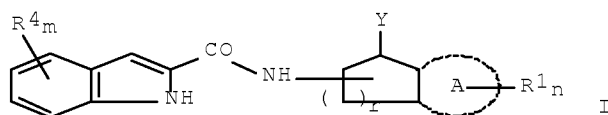
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:719447 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:245895  
 TITLE: Preparation of indolamide derivatives that possess  
 glycogen phosphorylase inhibitory activity  
 INVENTOR(S): Whittamore, Paul Robert Owen; Bennett, Stuart  
 Norman Lile; Simpson, Iain  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 90 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074484	A1	20030912	WO 2003-GB883	20030304
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CA 2477717	A1	20030912	CA 2003-2477717	20030304
AU 2003216988	A1	20030916	AU 2003-216988	20030304
BR 2003008144	A	20041207	BR 2003-8144	20030304
EP 1483240	A1	20041208	EP 2003-712310	20030304
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CN 100374420	C	20080312		
JP 2005524667	T	20050818	JP 2003-572954	20030304
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IN 2004DN02324	A	20050401	IN 2004-DN2324	20040810
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US 20050107362	A1	20050519	US 2004-506554	20040901
US 7138415	B2	20061121		
MX 2004PA08612	A	20041206	MX 2004-PA8612	20040906
NO 2004004032	A	20041005	NO 2004-4032	20040924
PRIORITY APPLN. INFO.:			GB 2002-5176	A 20020306
			WO 2003-GB883	W 20030304

OTHER SOURCE(S): MARPAT 139:245895  
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AB Heterocyclic amides of formula (I; 5-chloro-2-[N-(1-hydroxyindan-2-yl)carbamoyl]indole; A is phenylene or heteroarylene; m is 0, 1 or 2; n is 0, 1 or 2; R1 = for example halo, nitro, cyano, hydroxy, carboxy; r is 1 or 2; Y is -NR<sub>2</sub>R<sub>3</sub> or -OR<sub>3</sub>; R<sub>2</sub> and R<sub>3</sub> = for example H, hydroxy, aryl, heterocyclyl and C1-4 alkyl((un)substituted by 1 or 2 R<sub>8</sub> groups); R<sub>4</sub> = for example H, halo, nitro, cyano, hydroxy, C1-4 alkyl, and C1-4 alkanoyl; R<sub>8</sub> = for example hydroxy, -COCOR<sub>9</sub>, -C(O)N(R<sub>9</sub>)(R<sub>10</sub>), -NHC(O)R<sub>9</sub>, (R<sub>9</sub>)(R<sub>10</sub>)N- and -COOR<sub>9</sub>; R<sub>9</sub> and R<sub>10</sub> = for example H, hydroxy, C1-4 alkyl((un)substituted by 1 or 2 R<sub>13</sub>); R<sub>13</sub> = hydroxy, halo, trihalomethyl and C1-4 alkoxy) or a pharmaceutically acceptable salt or prodrug thereof are claimed. They possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity, e.g. type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia, hyperglucagonemia, cardiac ischemia, obesity. Inhibitory activity (IC<sub>50</sub>) of I in the direction of glycogen synthesis and on glycogen degradation were measure and are generally 100  $\mu$ M to 1 nM; 7.4  $\mu$ M for 5-chloro-N-[(1R,2R)-1-[[[(2-hydroxyethyl)(phenylmethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide in the latter assay. Processes for the manufacture of said heterocyclic amide derivs. and pharmaceutical compns. containing them are described. Thirty-seven example prepns. and/or characterization data for I and 11 for intermediates are included. For example, to prepare 5-chloro-2-[N-(trans-1-hydroxyindan-2-yl)carbamoyl]indole, 5-chloro-1H-indole-2-carboxylic acid (0.67 mmol) was dissolved in CH<sub>2</sub>Cl<sub>2</sub> (10 mL) containing DIPEA (1.19 mmol) and trans-2-aminoindan-1-ol (0.67 mmol) and HATU (0.67 mmol); the reaction mixture was stirred at room temperature for .apprx.18 h; workup gave 100 % of the desired compound. To prepare trans-2-aminoindan-1-ol, isoamyl nitrite (108 mmol) was added to a solution of indan-1,2-dione (90 mmol) in MeOH (380 mL) at 45° followed by concentrated HCl (12 mL) dropwise over 5 min; the reaction mixture was stirred for 3 h at room temperature; workup gave indan-1,2-dione-2-oxime (43%), which (39 mmol) in EtOH (470 mL) and 4M HCl/dioxane (36 mL) was hydrogenated at room temperature and 40 psi; workup gave 86 % of the trans-2-aminoindan-1-ol.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 14:44:16 ON 30 SEP 2008

L27 STR L25  
L29 116 SEA SSS FUL L27

FILE 'HCAPLUS' ENTERED AT 15:47:22 ON 30 SEP 2008

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D STAT QUE L33  
D IBIB ABS HITSTR L33 1-4  
L34 48 SEA ABB=ON PLU=ON ("BIRCH ALAN"/AU OR "BIRCH ALAN M"/AU OR  
"BIRCH ALAN MARTIN"/AU) OR BIRCH A/AU  
L35 165 SEA ABB=ON PLU=ON BENNETT S/AU OR BENNETT S L/AU OR BENNETT  
S N/AU OR BENNETT STUART/AU OR BENNETT STUART N?/AU OR BENNETT  
NORMAN/AU OR BENNETT NORMAN L/AU OR STUART N/AU OR STUART  
NORMAN/AU  
L36 144 SEA ABB=ON PLU=ON "CAMPBELL ANDREW"/AU OR "CAMPBELL ANDREW  
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L38 31 SEA ABB=ON PLU=ON ("WHITTAMORE PAUL"/AU OR "WHITTAMORE PAUL  
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FILE REGISTRY

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STRUCTURE FILE UPDATES: 29 SEP 2008 HIGHEST RN 1055027-88-7

DICTIONARY FILE UPDATES: 29 SEP 2008 HIGHEST RN 1055027-88-7

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FILE COVERS 1907 - 30 Sep 2008 VOL 149 ISS 14  
FILE LAST UPDATED: 29 Sep 2008 (20080929/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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